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Date:	1/26/99	Phone:30	08-4719	Art Unit:	<i></i>
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A.A. Sequence

_ Bibliographic

_ Structure.

SDC

Other

DARC/Questel

.Number of Searches: _

Number of Databases: _

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SUMMARY

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(FILE 'REGISTRY' ENTERED AT 13:35:10 ON 29 JAN 1999)
                           DEL HIS Y
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L1
                       0 S L1
L2
                          SCR 1841 AND 1993
L3
                       2 S L1 AND L3
L4
                    269 S L1 AND L3 FUL
        FILE 'CAPLUS' ENTERED AT 13:38:31 ON 29 JAN 1999
L6
                     38 S L5
       STR L1

12 S L10 SSS SAM SUB=L5
247 S L10 SSS FUL SUB=L5

247 S L10 SSS FUL SUB=L5

247 S L10 SSS FUL SUB=L5

FILE 'CAPLUS' ENTERED AT 13:42:13 ON 29 JAN 1999

32 S L12

FILE 'CAOLD' ENTERED AT 13:48:33 ON 29 JAN 1999

4 S L12

FILE 'BEILSTEIN' ENTERED AT 13:49:14 ON 29 JAN 1999
L7
^{18}
L9
L10
L12
L13
L14
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STR L111

Parent Search

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GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L3 SCR 1841 AND 1993 L5 269 SEA FILE=REGISTRY SSS FUL L1 AND L3

L10

Subset Search
10 Narrow

VAR G1=H/C

NOUE ATTRIBUTES:

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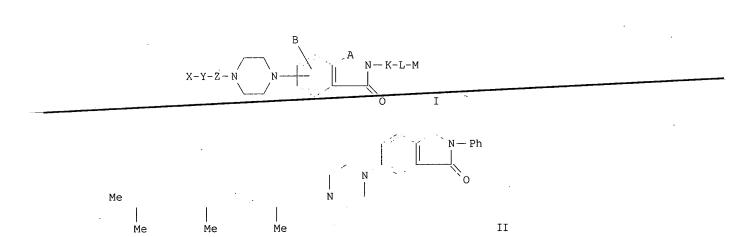
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247 compounds

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ANSWER 1 OF 32 CAPLUS COPYRIGHT 1999 ACS
      1998:793126 CAPLUS
DN
      130:52434
ΤI
      Prepn. of nitrogenous heterocyclic compounds as hyperlipemia remedies
     Ohkura, Naoto; Tsuruoka, Takashi; Usui, Takayuki; Hiraiwa, Yukiko;
ΙN
     Matsushima, Tetsuya; Shiotani, Masaharu; Niizato, Tetsutaro; Nakatani,
      Yuuko; Suzuki, Shigeki; Kuroda, Chidsuko; Katano, Kiyoaki
PΑ
     Meiji Seika Kaisha, Ltd., Japan; et al.
      PCT Int. Appl., 194 pp.
      CODEN: PIXXD2
      Patent
LA
      Japanese
FAN.CNT 1
                                                   APPLICATION NO.
                                                                       DATE
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                          KIND
                                 DATE
                           A1
                                 19981203
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      WO 9854135
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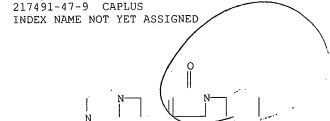


oxygen, or a bond; Z = carbonyl or a bond; K = alkylene or a bond; L = CH:CH or a bond; and M = hydrogen, alkyl, cycloalkyl, Ph, heterocycle, biphenyl, or diphenymethyl; p = 0-2; q = 1-6; R3-R5 = hydrogen, phenyl; R6-R7 = hydrogen, Ph, benzyl; R8 = hydrogen, C1-6 alkyl) are prepd. I inhibit the biosynthesis of triglycerides in the liver and also inhibit the secretion of lipoproteins contg. apolipoprotein B from the liver. I are hence useful for the prevention/treatment of hyperlipemia (esp. hyper-VLDL-emia) and diseases caused thereby, such as arteriosclerotic diseases, e.g., myocardial infarct, and pancreatitis. Thus, title compd. (II) was prepd. by multi-step reactions and showed 56% and 90% inhibitory activity for apolipoprotein B and triglycerides. A formulation contg. I was also presented.

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217491-47-9P 217491-64-0P 217491-66-2P
IΤ
     217491-67-3P 217491-68-4P 217491-85-5P
     217491-87-7P 217491-88-8P 217491-92-4P
     217491-93-5P 217491-95-7P 217491-97-9P
     217491-99-1P 217492-01-8P 217492-03-0P
     217492-06-3P 217492-08-5P 217492-10-9P
     217492-13-2P 217492-15-4P 217492-18-7P
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     217492-96-1P 217492-97-2P 217492-98-3P
```

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of nitrogenous heterocyclic compds. as hyperlipemia remedies)



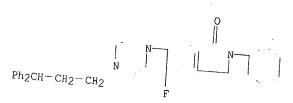
Ph2CH-CH2-CH2

RN

CN

RN 217491-64-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



RN 217491-66-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 217491-67-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 217491-68-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

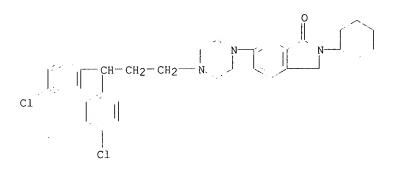
RN 217491-85-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED BERNHARDT

09/127059

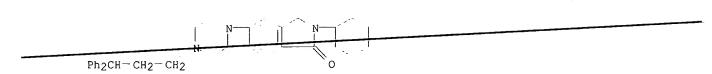
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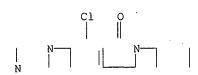
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RN 217491-88-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED



RN 217491-92-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED



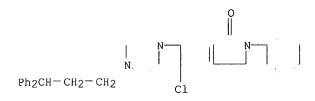
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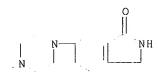
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BERNHARDT 09/127059

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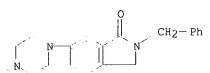


217491-95-7 CAPLUS RN CN INDEX NAME NOT YET ASSIGNED



Ph2CH-CH2-CH2

RN 217491-97-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED



RN 217491-99-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

 $Ph_2CH-CH_2-CH_2$

RN 217492-01-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED Ph₂CH-CH₂-CH₂

RN 217492-03-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Ph₂CH-CH₂-CH₂

N CH₂

OMe

RN 217492-06-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

N— CH₂

Ph₂CH-CH₂-CH₂

RN 217492-08-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

N— CH₂—

 ${\tt Ph_2CH-CH_2-CH_2}$

RN 217492-10-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Ph2CH-CH2-CH2

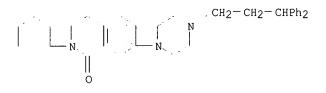
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INDEX NAME NOT YET ASSIGNED

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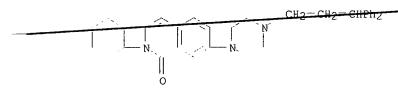
RN

217492-15-4 CAPLUS INDEX NAME NOT YET ASSIGNED CN



217492-18-7 CAPLUS RN

INDEX NAME NOT YET ASSIGNED CN



217492-20-1 CAPLUS RN

INDEX NAME NOT YET ASSIGNED CN

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RN 217492-22-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

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RN 217492-24-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

 $\begin{array}{c|c} CH_2-CH_2-CHPh_2 \\ \hline \\ CH_2-N \\ \hline \\ O \end{array}$

RN 217492-26-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Ph₂CH-CH₂-CH₂

O

CH₂

O

RN 217492-29-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

PH2CH - CH2 - CH2 | C1

RN 217492-30-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

CH2-CH2-CHPh2

RN 217492-31-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Ph2CH-CH2-CH2

N
N
CH2

C1
O

RN 217492-32-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Ph₂CH-CH₂-CH₂

N
N-CH₂

C1

RN 217492-33-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Ph₂CH-CH₂-CH₂

Ph₂CH-CH₂-CH₂

Me

● 2 HCl

RN 217492-34-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED ${\rm CH_2-CH_2-CHPh_2}$

2 HCl

RN 217492-35-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

2 HCl ·

217492-36-9 CAPLUS RN INDEX NAME NOT YET ASSIGNED CN

Ph2N-CH2-CH2

217492-42-7 CAPLUS RN CN INDEX NAME NOT YET ASSIGNED

Ph2CH-CH2-CH2

RN 217492-43-8 CAPLUS INDEX NAME NOT YET ASSIGNED CN

RN 217492-44-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

 ${\tt Ph_2CH-CH_2-CH_2}$

RN 217492-45-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED .

$$\begin{array}{c|c}
0 \\
\text{CH}_2\text{-CH} = \text{CH}_2
\end{array}$$

Ph2CH-CH2-CH2

RN 217492-46-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Ph₂CH-CH₂-CH₂

RN 217492-47-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED Ph₂CH-CH₂-CH₂

N CH₂

OMe

RN 217492-48-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Ph₂CH-CH₂-CH₂
N CH₂
Me

RN 217492-49-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Ph₂CH-CH₂-CH₂

N
CF₃

RN 217492-50-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Ph₂CH - CH₂ - CH₂

N
N
N
NO₂

RN 217492-51-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

N CH2 S

Ph2CH-CH2-CH2

RN 217492-52-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 217492-53-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 217492-55-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 217492-57-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 217492-59-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 217492-61-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 217492-63-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|cccc} & & & & & & & & \\ & & & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & \\ & & & \\ & \\ & \\ & \\ & \\ & \\ & \\ & & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ &$$

RN 217492-65-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 217492-67-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{CHPh}_2 \\ & & | & | & | & | \\ N & & | & | \\ \text{Ph--} (\text{CH}_2)_3 & || & | \\ & & O & \\ \end{array}$$

RN 217492-69-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{CHPh}_2 \\ \hline & N \\ & N \\$$

RN 217492-70-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 217492-71-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 217492-72-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 217492-73-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 217492-74-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 217492-75-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 217492-76-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 217492-77-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{CHPh}_2 \\ & & N \\ & N \\ & & N \\ &$$

RN 217492-78-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 217492-79-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 217492-80-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 217492-81-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 217492-82-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{C1} \\ \text{Ph}_2\text{CH}-\text{CH}_2-\text{CH}_2 \\ \\ \text{N} \\ \\ \text{O} \end{array}$$

RN 217492-83-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 217492-84-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\mathsf{Ph}_2\mathsf{CH}-\mathsf{CH}_2-\mathsf{CH}_2$$

$$\mathsf{N}$$

$$\mathsf{N}-\mathsf{CH}_2$$

RN 217492-85-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED $Ph_2CH-CH_2-CH_2$

RN 217492-86-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 217492-87-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Ph2CH-CH2-CH2

N
N-CH2

OH

RN 217492-88-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 217492-90-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 217492-91-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 217492-92-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 217492-93-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 217492-94-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 217492-95-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

 $\begin{array}{c|c} \mathsf{N} & & \mathsf{CH}_2 - \mathsf{CH}_2 - \mathsf{CHPh}_2 \\ \mathsf{N} & & & & \\ \mathsf{CH}_2 - \mathsf{N} & & & \\ & & & & \\ \mathsf{O} & & & & \\ \end{array}$

RN 217492-96-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

| CH2-CH2-CHPh2

RN 217492-97-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Ph

Ph₂CH-CH₂-CH₂

RN 217492-98-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

IT 217493-75-9.

RL: RCT (Reactant)

(prepn. of nitrogenous heterocyclic compds. as hyperlipemia remedies)

RN 217493-75-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

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DN
     128:192661
ΤI
     Preparation of pyrimidinylpiperidinylcarbonylpiperazines and related
     compounds as inhibitors of oxidosqualene cyclase.
     Brown, George Robert; Newcombe, Nicholas John; Stokes, Elaine Sophie
IN
     Elizabeth; Waterson, David
PΑ
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Stokes,
     Elaine Sophie Elizabeth; Waterson, David
     PCT Int. Appl., 91 pp.
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LA
     English
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GΙ
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AB Title compds. [I; T1, T3 = N, CR; R = H, alkyl, alkenyl, alkynyl; R1 = H, amino, halo, cyano, alkyl, alkylamino, dialkylamino, alkoxy; m = 1, 2; T2 = CH, N; Q = (substituted) Ph, naphthyl, phenylalkenyl, heteroaryl; Q1 = (CH2)a; Q2 = (CH2)b; Q3 = (CH2)c; Q4 = (CH2)d; X = O, CO, S, SO, SO2, CH2;

a, b = 2, 3; c, d = 1, 2], were prepd. as antihypercholesteremics. Thus, 4-[1-(4-pyrimidinyl)piperazin-4-ylcarbonyl]piperidine in CH2Cl2 was treated with a mixt. of 4-chlorophenylsulfonyl chloride and Et3N in CH2Cl2

under ice cooling followed by stirring for 18 h at room temp. to give 26% 1-(4-chlorophenylsulfonyl)-4-[1-(4-pyrimidinyl)piperazin-4-ylcarbonyl]piperidine. The latter at 5 mg/kg orally in rats gave 72%

inhibition of cholesterol biosynthesis.

203523-00-6P

ΙT

CN

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyrimidinylpiperidinylcarbonylpiperazines and related compds. as inhibitors of oxidosqualene cyclase)

RN

203523-00-6 CAPLUS
Piperazine, 1-[(4-bromophenyl)sulfonyl]-4-[[4-methyl-1-(2-methyl-4-pyrimidinyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



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=> d bib abs hitstr 3
```

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L13 ANSWER 3 OF 32 CAPLUS COPYRIGHT 1999 ACS .
     1998:28740 CAPLUS
AN
    128:88669
TI
     Preparation of diaryl antimicrobial agents
     Kanojia, Ramesh M.; Demers, James P.; Hlasta, Dennis J.; Johnson, Sigmond
TN
     G.; Klaubert, Dieter H.
PΔ
     Ortho Pharmaceutical Corp., USA
SO
     PCT Int. Appl., 60 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                      KIND DATE
                                            APPLICATION NO. DATE
     PATENT NO.
     ______
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                            _____
                                            WO 97-US9955
PΙ
     WO 9748674
                      A1
                             19971224
                                                              19970606
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
         RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,
SE
                                             US 96-665653
                                                               19960618
     US 5773469
                       A
                             19980630
                       A1 19980107
                                            AU 97-34804
                                                              19970606
     AU 9734804
PRAI US 96-665653
                       19960618
                      19970606
     WO 97-US9955
OS
     MARPAT 128:88669
GT
```

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB The title compds. [I; L = N, CH, C; G, E = (un) substituted Ph, phenylC1-4alkyl, 2-pyridyl, etc.; G and E together with L (when L = CH) = II, III; J = CH, Q; q = 0-1; m = 0 6; X = 0,5, NH, etc.; Ar = (un)substituted Ph, biphenyl, naphthyl; p = 0-1; W = 0, S; n = 0-6; A = NR1R2, N+R1R2R3*Z-, NR1C(:NR2)NHR3, etc. (wherein R1-R3 = H, C1-6 alkyl, phenylC1-6alkyl; Z = pharmaceutically acceptable counterion)] and their salts, useful in treating bacterial infections, were prepd. Thus, treatment of tyramine with di-tert-Bu dicarbonate in THF followed by reacting the resulting N-(tert-butoxycarbonyl)-2-(4-hydroxyphenyl)ethylamine with 3,3-diphenylpropanol in the presence of di-Et azodicarboxylate, triphenylphosphine in THF, and deprotection of

- resulting
 N-(tert-butoxycarbonyl)-2-[4-(3,3-diphenylpropoxy)phenyl]ethylam
 ine with HCl/IPA afforded the title compd. IV.HCl which showed IC50 of
 31.25 .mu.M against histidine protein kinase (HPK) in vitro assay.
- RN 201043-63-2 CAPLUS
- CN Piperazine, 1-[4-(3,3-diphenylpropoxy)phenyl]-4-(3,3-diphenylpropyl)-(9CI) (CA INDEX NAME)

BERNHARDT 09/127059

27059

Page 4

=> d bib abs hitstr 4

```
L13 ANSWER 4 OF 32 CAPLUS COPYRIGHT 1999 ACS
AN
     1997:776083 CAPLUS
DN
     128:34785
     Preparation of 2-(piperazinoalkylamino)benzoxazoles and -thiazoles as
TΤ
     dopamine D4 antagonists
     Kennis, Ludo Edmond Josephine; Mertens, Josephus Carolus; Pieters, Serg
IN
     Maria Aloysius
PΑ
     Belg.
     PCT Int. Appl., 37 pp.
SO
     CODEN: PIXXD2
DΤ
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                              APPLICATION NO. DATE
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                                                                19970502
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                                              WO 97-EP2505
     WO 9743271
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              SI, SK, TR, TT, UA, US, UZ, VN
         RW: GE, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,
              GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,
             ML, MR, NE, SN, TD, TG
560 A1 19971205
                                              AU 97-29560
                                                                19970502
     AU 9729560
PRAI EP 96-201282
                       19960510
     WO 97-EP2505
                       19970502
     MARPAT 128:34785
OS
GΙ
```

$$R^{1}$$
 R^{1} R^{1} R^{1}

AB Title compds. [T; R = NR2(CH2)nZ1R3; Z1 = piperazine-1,4-diyl][II; R1 = H, halo, alkyl, alkoxy; R2 = H, (phenyl)alkyl, Ph, Bz; R3 = (un)substituted Ph or naphthyl; Z = O or S; n = 2-5] were prepd. Thus, 1-(3,4-dichlorophenyl)piperazine was N-alkylated by C1(CH2)4CN and the hydrogenated product condensed with 2-chlorobenzothiazole to give II (R1

R2 = H, R3 = C6H3C12-3,4, Z = S, n = 5). Data for biol. activity of II were given.

IT 199616-61-0P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

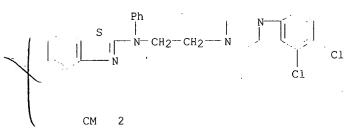
(prepn. of 2-(piperazinoalkylamino)benzoxazoles and -thiazoles as dopamine D4 antagonists)

RN 199616-61-0 CAPLUS

CN 2-Benzothiazolamine, N-[2-[4-(3,4-dichlorophenyl)-1-piperazinyl]ethyl]-N-phenyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 199616-60-9 CMF C25 H24 C12 N4 S



CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

E CO₂H

Romolog.

=> d bib abs hitstr 5

1H-Benzotriazole,

(9CI) (CA INDEX NAME)

- L13 ANSWER 5 OF 32 CAPLUS COPYRIGHT 1999 ACS 1997:687574 CAPLUS 128:128 DN Structure-activity relationship studies of CNS agents. Part 32. Effect of structural modifications in 1-arylpiperazine derivatives on .alpha.1-adrenoreceptor affinity Mokrosz, Maria J.; Paluchowska, Maria H.; Charakchieva-Minol, Sijka; Bien, Anna CS Institute Pharmacology, Polish Academy Sciences, Krakow, 31343, Pol. Arch. Pharm. (Weinheim, Ger.) (1997), 330(6), 177-180 SO CODEN: ARPMAS; ISSN: 0365-6233 Wiley-VCH PB DT Journal LΑ English The .alpha.1-adrenergic and 5-HT1A serotonergic receptor affinities of a AB series of 1-arylpiperazines are presented. The role of the spacer and the influence of the terminal substituents on the .alpha.1-adrenoreceptor $% \left(1\right) =\left(1\right) +\left(1$ affinity and the 5-HT1A/.alpha.1 receptor selectivity are discussed. ΙT 171415-34-2P RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (structural modifications in 1-arylpiperazine derivs. effect on .alpha.1-adrenoreceptor affinity) RN 171415-34-2 CAPLUS
- N Ph EXCluded

1-[3-[4-(2-methoxyphenyl)-1-piperazinyl]-1-phenylpropyl]-

=> d bib abs hitstr 6

L13 ANSWER 6 OF 32 CAPLUS COPYRIGHT 1999 ACS

1997:588612 CAPLUS AN

DN 127:257915

ΤI Effects of selective h5-HT1B (SB-216641) and h5-HT1D (BRL-15572) receptor ligands on guinea pig and human 5-HT auto- and heteroreceptors

Schlicker, E.; Fink, K.; Molderings, G. J.; Price, G. W.; Duckworth, M.; AU Gaster, L.; Middlemiss, D. N.; Zentner, J.; Likungu, J.; Gothert, M.

Institut Pharmakologie Toxikologie, Universitat Bonn, Bonn, D-53113, CS ordered to I LL

Naunyn-Schmiedeberg's Arch. Pharmacol. (1997), 356(3), 321-327 SO CODEN: NSAPCC; ISSN: 0028-1298

PB Springer

DTJournal

LA English

Human cerebral cortical slices and synaptosomes, guinea-pig cerebral AB cortical slices and human right atrial appendages were used to study the effects of SB-216641, a preferential h5-HT1B receptor ligand, and of BRL-15572, a preferential h5-HT1D receptor ligand, on the presynaptic h5-HT1B and h5-HT1B-like autoreceptors in the human and guinea-pig brain prepns., resp., and on the presynaptic h5-HT1D heteroreceptors in the human atrium. The brain prepns., preincubated with [3H]serotonin ([3H]-5-HT), and the segments of atrial appendages, preincubated with [3H] noradrenaline, were superfused with modified Krebs' soln. and tritium overflow was evoked elec. (human and guinea-pig cerebral cortex slices

and

human atrial appendages) or by high K+ (human cerebral cortex synaptosomes). The elec. evoked tritium overflow from guinea-pig cerebral

cortex slices was reduced by the 5-HT receptor agonist 5-carboxamidotryptamine (5-CT). This effect was not modified by BRL-15572

(2 .mu.M; concn. 154 times higher than its Ki at h5-HT1D receptors) but was antagonized by SB-216641 (0.1 .mu.M; concn. 100 times higher than its Ki at h5-HT1B receptors; apparent pA2 8.45). SB-216641 (0.1 .mu.M) by itself facilitated, whereas BRL-15572 (.mu.M) did not affect, the evoked overflow. In human cerebral cortex slices 3B-216641 (0.1 .mu.M) also facilitated, and BRL-15572 (2 .mu.M) again failed to affect, the elec. evoked tritium overflow. In human cerebral cortical synaptosomes, 5-CT reduced the K+-evoked tritium overflow. This response was unaffected by BRL-15572 (300 nM) but antagonized by SB-216641 (15 nM; drug concns. 23 and 15 times higher than their Ki at h5 HT1D and h5-HT1B receptors, resp.). Both drugs, given alone, did not modify the K+-evoked tritium overflow. In human atrial appendages, the elec. evoked tritium overflow was inhibited by 5-HT in a manner susceptible to antagonism by BRL-15572 (300 nM; 23 times Ki at h5-HT1D receptors) but not by SB-216641 (30 nM;

30

times Ki at h5-HT1B receptors). Both drugs by themselves did not change the elec. evoked tritium overflow. In conclusion, SB-216641 behaves as a preferential antagonist at native human 5-HT1B receptors and BRL-15572 as a preferential antagonist at native human 5-HT1D receptors. These compds.

are clearly useful tools for the differentiation between human 5-HT1B and 5-HT1D receptors in functional studies.

193611-72-2, BRL-15572

RL: BAC (Biological activity or effector, except adverse); BIOL

(Biological study)

(effects of selective 5-HT receptor ligands SB-216641 and BRL-15572 on guinea pig and human 5-HT auto- and heteroreceptors)

RN 193611-72-2 CAPLUS

CN 1-Piperazineethanol, 4-(3-chlorophenyl)-.alpha.-(diphenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

One of the ph2CH-CH-CH2

• 2 HCl

Same compations, 81.

=> d bib abs hitstr 7

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L13 ANSWER 7 OF 32 CAPLUS COPYRIGHT 1999 ACS
     1997:588602 CAPLUS
     127:257483
DN
     SB-216641 and BRL-15572-compounds to pharmacologically discriminate
     h5-HT1B and h5-HT1D receptors
     Price, G. W.; Burton, M. J.; Collin, L. J.; Duckworth, M.; Gaster, L.;
     Gothert, M.; Jones, B. J.; Roberts, C.; Watson, J. M.; Middlemiss, D. N.
     Dep. Neuroscience, SmithKline Beecham Pharmaceuticals, Harlow, Essex,
CS
CM19
     5AW, UK
SO
     Naunyn-Schmiedeberg's Arch. Pharmacol. (1997), 356(3), 312-320
     CODEN: NSAPCC; ISSN: 0028-1298
PB
     Springer
DΤ
     Journal
LA
     English
AB
     Despite only modest homol. between h5-HT1B and h5-HT1D receptor amino
acid
     sequences, these receptors display a remarkably similar pharmacol. To
     date there are few compds. which discriminate between these receptor
     subtypes and those with some degree of selectivity, such as ketanserin,
     have greater affinity for other 5-HT receptor subtypes. We now report on
     two compds., SB-216641 (N-[3-(2-dimethylamino)ethoxy-4-methoxyphenyl]-2'-
     methyl-4'-(5-methyl-1,2,4-oxadiazol-3-yl)-(1,1'-biphenyl)-4-carboxamide)
     and BRL-15572 3-[4-(3-chlorophenyl)piperazin-1-yi]-1,1-diphenyl-2-
     propanol, which display high affinity and selectivity for h5-HT1B and
    h5-HT1D receptors, resp. In receptor binding studies on human receptors expressed in CHO cells, SB-216641 has high affinity (pKi = 9.0) for
     {\tt h5-HT1B} receptors and has 25-fold lower affinity at {\tt h5-HT1D} receptors.
Τn
     contrast, BRL-15572 has 60-fold higher affinity for h5-HTlD (pKi = 7.9)
     than 5-HT1B receptors. Similar affinities for these compds. were detd.
on
     native tissue 5-HT1B receptors in guinea-pig striatum. Functional
     activities of SB-216641 and BRL-15572 were measured in a
[35S]GTP-.gamma.S
     binding assay and in a cAMP accumulation assay on recombinant h5-HT1B and
     h5-HT1D reseptors. Both compds. were partial agonists in these high
     receptor expression systems, with potencies and selectivities which
     correlated with their receptor binding affinities. In the cAMP
     accumulation assay, results from pKB measurements on the compds. again
     correlated with receptor binding affinities (SB-216641, pKB = 9.3 and
7.3;
     BRL-15572, pKB = <6 and 7.1, for h5-HT1B and h5-HT1D receptors resp.).
     These compds. will be useful pharmacol. agents to characterize 5-HT1B and
     5-HT1D receptor mediated responses.
     193611-72-2, BRL-15572
     RL: BAC (Biological activity or effector, except adverse); BIOL
     (Biological study)
        (SB-216641 and BRL-15572 pharmacol. discriminate h5-HT1B and h5-HT1D
        receptors)
     193611-72-2 CAPLUS
     1-Piperazineethanol, 4-(3-chlorophenyl)-.alpha.-(diphenylmethyl)-,
CN
     dihydrochloride (9CI) (CA INDEX NAME)
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Cl

2 HCl

Seme to the Some

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L13 ANSWER 8 OF 32 CAPLUS COPYRIGHT 1999 ACS
AN 1997:453144 CAPLUS
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DN 127:156586

- TI Stimulation of 5-HT1B receptors causes hypothermia in the guinea pig AU Hagan, Jim J.; Slade, Paula D.; Gaster, Laramie; Jeffrey, Phillip; Hatcher, Jonathan P.; Middlemiss, D. N.
- CS Neuroscience Research, SmithKline Beecham Pharmaceuticals, New Frontiers Science Park North, Third Avenue, Harlow Essex, CM19 5AW, UK
- SO Eur. J. Pharmacol. (1997); 331(2/3), 169-174 CODEN: EJPHAZ; ISSN: 0014-2999
- PB Elsevier
- DT Journal
- LA English
- The selective, brain penetrant, 5-HT1B/D (formerly 5-HT1D.beta./.alpha.) AB receptor agonist SKF-99101H (3-(2-dimethylaminoethyl)-4-chloro-5propoxyindole hemifumarate) (30 mg/kg i.p.) causes a dose related fall in rectal temp. in guinea pigs which previous studies have shown to be blocked by the non-selective 5-HT1B/D receptor antagonist GR-127935 (N-[4-methoxy-3-(4-methyl-1-piperazinyl) phenyl]-2'-methyl-4'-(5-methyl-1-piperazinyl)1,2,4-oxadiazol-3-yl) [1,1'biphenyl]-4-carboxamide oxalate). The present study shows that the hypothermic response to SKF-99101H is dose-dependently blocked by SB-224289G (1'-methyl-5-(2'-methyl-4'-[(5methyl-1,2,4-oxadiazol-3-yl)biphenyl-4-yl]carbonyl)-2,3,6,7tetrahydrospiro[furo[2,3-f]indole-3,4'-piperidone] hemioxalate) (0.3-10.0 mg/kg p.o.) (ED50 3.62 mg/kg), which is the first compd. to be described which is more than 60 fold selective for the 5-HT1B receptor over the 5-HT1D receptor. SB-216641A (N-[3-(2-dimethylamino) ethoxy-4-methoxyphenyl] 2'-methyl-4'-(5-methyl-1,2,4-oxadiazol-3-yl)-(1,1'-biphenyl)-4carboxamide hydrochloride) (0.6-20.0 mg/kg i.p.), which is somewhat less selective (30 fold) for the 5-HT1B receptor over the 5-HT1D receptor had

similar effect (ED50 4.43 mg/kg). The brain penetrant 5-HT1D selective receptor antagonist, BRL-15572 (4-(3-chlorophenyl)-.alpha.- (diphenylmethyl)-1-piperazineethanol dihydrochloride) (0.3-100.0 mg/kg i.p.) was inactive. When administered alone neither BRL-15572 (0.1-10 mg/kg i.p.) nor SB-224289G (2.2-22 mg/kg p.e.) had an effect on body

These data demonstrate that 5-HT1B (formerly 5-HT1D.beta.) and not 5-HT1D (formerly 5-HT1D.alpha.) receptors mediate the hypothermic response to SKF-99101H (30 mg/kg i.p.) in guinea pigs. The compds. described are useful pharmacol. tools for distinguishing responses to 5-HT1B and 5-HT1D receptors.

IT 193611-72-2, BRL 15572

RL: BAC (Biological activity or effector, except adverse); BPR (Biological

process); BIOL (Biological study); PROC (Process)
 (pharmacol. tools for distinguishing responses to 5-HT1B and 5-HT1D
 receptors)

RN 193611-72-2 CAPLUS

BERNHARDT

09/127059

Page 13

L13 ANSWER 9 OF 32 CAPLUS COPYRIGHT 1999 ACS

AN 1996:567069 CAPLUS

DN 125:221856

Preparation of quinazoline derivatives as adrenergic .alpha.1C receptor ΤI antagonists

Andrews, Robert Carl; Brown, Peter Jonathan; Deaton, David Norman; IN

Drewry, David Harold; Foley, Michael Andrew; Garrison, Deanna T.; Marron, Brian

Edward; Smalley, Terrence L.; Berman, Judd M.; Noble, Stewart Alywyn

PA Glaxo Inc, USA

SO Brit. UK Pat. Appl., 190 pp.

CODEN: BAXXDU

DT Patent

LA English

LAN.	CNT 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	GB 2295387	A1	19960529	GB 94-23635	19941123
os	MARPAT 125:22185	6			

GI

Title compds. [I; R = Z1Z2 = R4; R1 = H, halo, alkyl, alkoxy, etc.; R4 = AB H, (di)(alkyl)amino, phenyl(oxy), etc.; R5, R6 = H, OH, halo, alkyl, alkoxy; Z1 = NH, 2-(piperazine-1,4-diyl)ethylimino, iminopyridine-5,2diylimino, etc.; Z2 = bond, (un) substituted alkylene] were prepd. as adrenergic .alpha.1C receptor antagonists (no data). Thus, 4-chloro-2-phenylquinazoline was aminated by 4-amino-1-benzylpiperidine and the deprotected product N-alkylated by 5-(2-chloroethyl)-2methoxybenzenesulfonamide (prepn. given) to give title compd. II. ΙT 181114-29-4P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

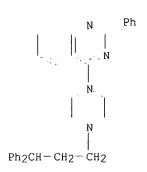
(prepn. of quinazoline derivs. as adrenergic .alpha.1C receptor antagonists)

RN

181114-29-4 CAPLUS Quinazoline, 4-[4-(3,3-diphenylpropyl)-1-piperazinyl]-2-phenyl- (9CI)CN

(CA

INDEX NAME)



ey cluded

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L13 ANSWER 10 OF 32 CAPLUS COPYRIGHT 1999 ACS
     1995:996307 CAPLUS
DN
     124:146182
ΤI
     Preparation of benzothiazine derivatives for inhibiting dysuria
     Masaki, Mitsuo; Miyake, Norihisa; Tendo, Atsushi; Ishida, Michiko;
IN
     Shinozaki, Atsuhiko; Nomura, Yutaka; Goto, Yasunori
     Nippon Chemiphar Co., Ltd., Japan
SO
     PCT Int. Appl., 108 pp.
     CODEN: PIXXD2
DT
     Patent
     Japanese
LA
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                             APPLICATION NO. DATE
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                                             WO 95-JP632
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LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
              SN, TD, TG
                            19951024
                                              JP 94-85831
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                        A1
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     EP 753514
                             19970115
                                                                19950331
                        A1
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                             19970430
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     CN 1148853
                       Α
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     US 5773437
                        Α
                              19980630
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PRAI JP 94-85831
                       19940331
     JP 94-103345
                       19940418
     WO 95-JP632
                       19950331
OS
     MARPAT 124:146182
GΙ
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AB The title compds. I [Rl represents hydrogen, alkyl, halogen, haloalkyl, hydroxy, alkoxy, nitro, amino, cyano, etc.; R2 represents hydrogen, alkyl,

aryl, etc.; R3 and R4 represent each alkyl, etc., or R3 and R4 are combined together to form an optionally substituted heterocyclic group; k represents an integer of 1 to 4; m and n represent each an integer of 0

4; p+q=0 to 4, wherein p is 0, 1 or 2 and q is 0 or 1; and w, x, y and

represent each an integer of 0 to 2, and w+x+y+z=1 or 2, provided when R1 to R4 represent each a specifically limited group, w+x+y+z may be 0] are prepd. 2-[3-(4-Phenoxypiperidino)propyl]-2H-1,2-benzothiazin-4(3H)one 1,1-dioxide hydrochloride (II) was prepd. in several steps starting from 2H-1,2-benzothiazin-4(3H)-one 1,1-dioxide ethylene ketal. II at 1 mg/kg i. v. inhibited urinary bladder contractions in rats.

ITRL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(prepn. of benzothiazine derivs. for inhibiting dysuria) 173365-96-3 CAPLUS

1,2-Benzisothiazol-3(2H)-one, 2-[1-phenyl-3-[4-(2-pyrimidinyl)-1-CN piperazinyl]propyl]-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX

HC1

2

RN

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L13 ANSWER 11 OF 32 CAPLUS COPYRIGHT 1999 ACS
AN
    1995:995626 CAPLUS
DN
     124:145926
    Preparation of aminoalkylisochromans, -isoquinolines, and related
тT
    compounds as gonadotropin-releasing hormone antagonists, calcium
    antagonists, and/or monoamine uptake inhibitors.
    Kato, Kaneyoshi; Sugiura, Yoshihiro; Kato, Koichi; Nagai, Yasuo
IN
PA
    Takeda Chemical Industries, Ltd., Japan
    Eur. Pat. Appl., 104 pp.
SO
    CODEN: EPXXDW
DТ
    Patent
LA
    English
FAN.CNT 1
    PATENT NO.
                     KIND DATE
                                         APPLICATION NO.
                                                         DATE
                     _---
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    EP 679642
                     A1 19951102
PΤ
                                         EP 95-106189
                                                          19950426
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                                         US 95-428499
    US 5607939
                     A 19970304
                                                          19950425
    CA 2148047
                     AΑ
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    JP 08012650
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                           19970805
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    US 5654296
                     Α
PRAI JP 94-114054
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    JP 94-92769
                     19940428
    US 95-428499
                     19950425
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$$\begin{array}{c} \text{Ar} \quad \text{(CH2)}_{\text{mNR}} \text{1R2} \\ \\ \text{(CH2)}_{\text{n}} \\ \\ \\ \end{array}$$

MARPAT 124:145926

OS

GI

AB Title compds. (I; Ar = arom. group; R1, R2, R3 = H, acyl, hydrocarbyl; R1R2N = heterocyclyl; m = 1-6; n = 2, 3; dotted line = optional double bond; X = 0, NR3, N:), were prepd. Thus, 4-(2-iodoethyl)-4-phenylisochroman and imidazole were stirred with K2CO3 in MeCN for 4 days at 60.degree. to give 4-phenyl-4-[2-(1-imidazolyl)ethyl]isochroman, isolated as the hydrochloride. I inhibited 5-HT uptake in rat brain prepns. with IC50 = 0.03-1.0 .mu.M. I drug formulations are given.

IT 173272-96-3

11 1/32/2-96-3

RL: RCT (Reactant) (prepn. of aminoalkylisochromans, -isoquinolines, and related compds. as gonadotropin-releasing hormone antagonists, calcium antagonists, and/or monoamine uptake inhibitors)

RN 173272-96-3 CAPLUS

IT 173272-74-7P

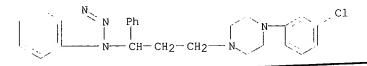
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of aminoalkylisochromans, -isoquinolines, and related compds. as gonadotropin-releasing hormone antagonists, calcium antagonists, and/or monoamine uptake inhibitors)

RN 173272-74-7 CAPLUS

CN Formamide, N-[4-[4-(4-fluorophenyl)-1-piperazinyl]-2,2-diphenylbutyl]-, dihydrochloride (9CI) (CA INDEX NAME)

● 2 HCl

```
L13 ANSWER 12 OF 32 CAPLUS COPYRIGHT 1999 ACS
     1995:801125 CAPLUS
ΑN
DN
     124:8755
TΙ
     Structure-activity relationship studies of CNS agents. Part 22. A search
     for new trazodone-like antidepressants: synthesis and preliminary
receptor
     binding studies
     Mokrosz, Jerzy L.; Duszynska, Beata; Paluchowska, Maria H.;
ΑU
     Charakchieva-Minol, S.; Mokrosz, Maria J.
     Institute Pharmacology, Polish Academy Sciences, Krakow, 31-343, Pol. Arch. Pharm. (Weinheim, Ger.) (1995), 328(7-8), 623-5
CS
SO
     CODEN: ARPMAS; ISSN: 0365-6233
DT
     Journal
     English
LA
     New 1-phenyl- and 1-(3-chlorophenyl)piperazines contg. a
AΒ
     4-[3-(heterocyclic)propyl] fragment were synthesized. Several derivs.
     were selected as good candidates for new, potential antidepressants on
the
     basis of their 5-HT1A/5-HT2A receptor binding profiles.
IT
     171112-91-7P
     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
     preparation); BIOL (Biological study); PREP (Preparation)
        (synthesis and 5-HT1A/5-HT2A receptor binding profiles of
        (heterocyclylpropyl)-substituted piperazines)
RN
     171112-91-7 CAPLUS
     1H-Benzotriazole,
CN
1-[3-[4-(3-chlorophenyl)-1-piperazinyl]-1-phenylpropyl}-
```



, dihydrochloride (9CI) (CA INDEX NAME)

excluded. . 2 HCI

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L13 ANSWER 13 OF 32 CAPLUS COPYRIGHT 1999 ACS
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AN 1995:801121 CAPLUS

DN 124:8013

TI Structure-activity relationship studies of CNS agents. Part 23. N-(3-phenylpropyl)- and N-[(E)-cinnamyl]-1,2,3,4-tetrahydroisoquinoline mimic 1-phenylpiperazine at 5-HT1A receptors

AU Mokrosz, Jerzy I.; Bojarski, Andrzej J.; Charakchieva-Minol, Sijka; Duszynska, Beata; Mokrosz, Maria J.; Paluchowska, Maria H.

CS Institute Pharmacology, Polish Academy Sciences, Krakow, 31-343, Pol.

SO Arch. Pharm. (Weinheim, Ger.) (1995), 328(7-8), 604-8 CODEN: ARPMAS; ISSN: 0365-6233

DT Journal

LA English

OS CASREACT 124:8013

GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The 5-HT1A receptor affinities and ionization consts. of a set of 1-arylpiperazine, 1,2,3,4-tetrahydroisoquinoline, and -quinoline contg. N-(.omega.-arylalkyl) or N-(E)-cinnamyl substituents as well as two morpholine derivs. (I, II) were detd. It was shown that some tetrahydroisoquinoline (III, IV) and morpholine (I) derivs. were 5-HT1A ligands equipotent to 1-phenylpiperazine (V) and 1,2,3,4,4a,5-hexahydropyrazino[1,2-a]indole (VI). On the basis of mol. modeling studies it was also demonstrated that III, IV and I mimicked very well

ref. structures of V and its rigid analog VI. Another, more complex 1,2,3,4-tetrahydroisoquinoline deriv. VII, which served as a model compd. to confirm the previously reported 5-HT1A binding mode of other 1-phenyl-1,2,3,4-tetrahydroisoquinolinone derivs., had the highest 5-HT1A affinity (Ki = 6.7 .+-. 0.5 nM) of all the investigated compds.

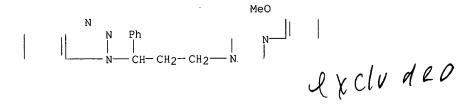
IT 171415-34-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(QSAR of CNS agents N-(3-phenylpropyl)- and N-[(E)-cinnamyl]-1,2,3,4-tetrahydroisoquinoline as 1-phenylpiperazine mimics at 5-HT1A receptors)

RN 171415-34-2 CAPLUS

CN 1H-Benzotriazole,



Page 22

09/127059

=> d bib abs hitstr 14

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L13 ANSWER 14 OF 32 CAPLUS COPYRIGHT 1999 ACS
     1992:490321 CAPLUS
AN
DN
     117:90321
     Piperazine derivatives
TI
     Ward, Terence James; Warrellow, Graham John
IN
     John Wyeth and Brother Ltd., UK
PA
     Eur. Pat. Appl., 16 pp.
SO
     CODEN: EPXXDW
DΨ
     Patent
LA
     English
FAN.CNT 1
                                            APPLICATION NO.
                                                             DATE
     PATENT NO.
                      KIND
                            DATE
                      ____
                             _____
                                            EP 91-308969
                                                             19911001
                       A2
                             19920408
PΙ
     EP 479546
     EP 479546
                       A3
                             19920603
     EP 479546
                       В1
                            19961030
         R: AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, LU, NL, SE
                                                             19910930
     AU 9184883
                       A1
                            19920409
                                            AU 91-84883
                       B2
                            19931021
     AU 642532
                             19930105
                                            US 91-768147
                                                             19910930
     US 5177078
                                            GB 91-20856
                                                             19911001
                             19920415
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     GB 2248616
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                             19940615
                                            JP 91-253585
                                                             19911001
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                       Ε
                             19961115
                                            AT 91-308969
                                                             19911001
     AT 144772
                                            ES 91-308969
                                                             19911001
     ES 2094204
                       Т3
                             19970116
     CA 2052619
                             19920404
                                            CA 91-2052619
                                                             19911002
                       AΑ
                       A2
                             19920528
                                            HU 91-3160
                                                             19911003
     HU 59394
PRAI GB 90-21453
                      19901003
                                                             Applied.
     MARPAT 117:90321
OS
GΙ
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OMe R1R2CR3XN II

Piperazines I (X = alkylene; R = H, alkyl; R1, R4 = aryl, heteroaryl; R2 AB

mono- or bicyclic heterocyclic; R3 = H, OH, alkyl) were prepd. Thus, 1-(2-methoxyphenyl)piperazine was treated with styrene oxide followed by imidazole to give the piperazine II. II had 5-hydroxytryptamine type 1A receptor antagonist activity in rats at a min. ED of 1 mg/kg s.c. and 10 mg/kg orally.

141733-62-2P 141733-71-3P 142234-34-2P IT

142234-35-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 141733-62-2 CAPLUS

Piperazine, 1-[3-(1H-imidazol-1-yl)-3-phenylpropyl]-4-(2-methoxyphenyl)-CN (9CI) (CA INDEX NAME)

RN 141733-71-3 CAPLUS CN Piperazine, 1-(2-methoxyphenyl)-4-[3-(3-methyl-1,2,4-oxadiazol-5-yl)-3-phenylpropyl]- (9CI) (CA INDEX NAME)

Me
$$N \rightarrow CH-CH_2-CH_2-N$$
 $N \rightarrow O$ MeO

RN 142234-34-2 CAPLUS
CN Piperazine, 1-[3-(1H-imidazol-1-yl)-3-phenylpropyl]-4-(2-methoxyphenyl)-.
trihydrobromide (9CI) (CA_INDEX_NAME)

RN 142234-35-3 CAPLUS CN Piperazine, 1-(2-methoxyphenyl)-4-[3-(3-methyl-1,2,4-oxadiazol-5-yl)-3-phenylpropyl]-, dihydrochloride (9CI) (CA INDEX NAME)

● 2 HCl

L13 ANSWER 15 OF 32 CAPLUS COPYRIGHT 1999 ACS 1992:426513 CAPLUS AN

New triazine derivatives as potent modulators of multidrug resistance TΤ Dhainaut, Alain; Regnier, Gilbert; Atassi, Ghanem; Pierre, Alain; Leonce, Stephane; Kraus-Berthier, Laurence; Prost, Jean Francois ΑU

CS Inst. Rech. Servier, Suresnes, 92150, Fr.

J. Med. Chem. (1992), 35(13), 2481-96 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

CJACS OS

GΙ

70 Triazines, e.g., I (X = bond, NH, aminoalkylene; Y = N; R = Cdiarylalkyl, dibenzocycloheptenyl, dibenzoheteroaryl) were prepd. from chlorotrazines and tested for their capacity to modulate multidrug resistance (MDR) in DC-3F/AD and KB-A1 tumor cells in vitro, in comparison

with verapamil (VRP), a calcium channel antagonist currently used in therapy as an antihypertensive drug, which also shows MDR modulating activity. Among the 12 selected compds., I [X = bond, Y = CH, R =NHCH2CH(C6H4F-4)2] (II) (S9788) showed high MDR reversing properties in vitro (300- and 6-fold VRP at 5 .mu.M in DC-3F/AD and KB-A1 cells, resp.) and induced a strong accumulation of adriamycin. The relationship

nerease of ADR accumulation and the fold reversal induced by these compds. and their lack of effects on the sensitive DC-3F cells suggest that they act mainly by inhibiting the Pgp-catalyzed efflux of cytotoxic agents, as already described for a majority of MDR modulators. In vivo, in assocn. with the antitumor drug vincristine (0.25 mg/kg), II (100 mq/kg) increased the T/C by 39% in mice bearing the resistant tumor cell line P388/VCR. According to these interesting properties, II was selected

for a clin. development because it was more bioavailable than I [X =

Y = CH, R = (dibenzo[a,d]cyclohepten-5-ylmethyl)amino], even though itwas less active.

27469-55-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as modulator for multidrug resistance) 27469-55-2 CAPLUS

1,3,5-Triazine-2,4-diamine,

6-[4-(3,3-diphenylpropyl)-1-piperazinyl]-N,N'di-2-propenyl- (9CI) (CA INDEX NAME)

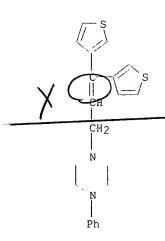
09/127059

Page 27

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L13 ANSWER 16 OF 32 CAPLUS COPYRIGHT 1999 ACS 1981:586978 CAPLUS ΑN DN 95:186978 Synthesis of geminal 3,3-dithienyl compounds TΤ ΑU Kleemann, A.; Heese, J.; Engel, J. Pharmaforsch. Chemiewerk Homburg, Zweigniederlassung Degussa, CS Frankfurt/Main, Fed. Rep. Ger. SO Arzneim.-Forsch. (1981), 31(8), 1178-83 CODEN: ARZNAD; ISSN: 0004-4172 DTJournal LA German AΒ (R)-(+)-R2C:CHCH2NHCHMeCHPhOH (I; tinofedrine; R=3-thienyl throughout) was prepd. by the reaction of RLi with BrCH2CH2CO2Et to give 96% HOCR2CH2CH2Br (II), which reacted with (-)-norephedrine to give 69% HOCR2CH2CH2NHCHMeCHPhOH.HCl, which was dehydrated by HCl in EtOH to give 75% I.HCl. Stereoisomers of I and analogs R2C:CH(CH2)nR1R2 [NR1R2 = NHCMe3, (substituted)piperazinyl, NMe2, piperidino, morpholino, etc; n = 1,2,3] were prepd. by the reaction of II with the appropriate amine. Several of these compds. increased blood flow in the arteriae vertebralis and femoralis in dogs. 67822-07-5P 67822-08-6P ΙT RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and cerebral vasodilatory activity of) 67822-07-5 CAPLUS
Piperazine, 1-(3,3-di-3-thienyl-2-propenyl)-4-phenyl-, dihydrochloride RN



● 2 HCl

RN 67822-08-6 CAPLUS

(9CI) (CA INDEX NAME)

CN Piperazine, 1-(3,3-di-3-thienyl-2-propenyl)-4-(2-methylphenyl)-, dihydrochloride (9CI) (CA INDEX NAME)

IT 79438-34-9P 79438-35-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and dehydration of)

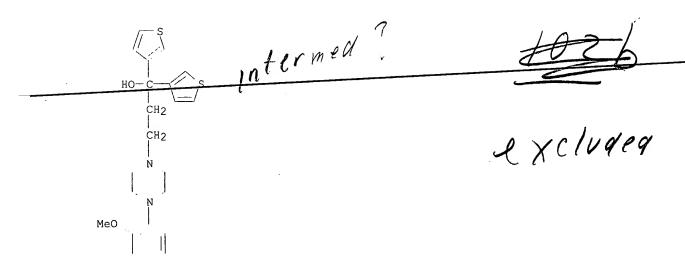
RN 79438-34-9 CAPLUS

CN 1-Piperazinepropanol, 4-(2-methoxyphenyl)-.alpha.,.alpha.-di-3-thienyl-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 67821-80-1

CMF C22 H26 N2 O2 S2



CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

CM 1

CRN 67821-86-7 CMF C22 H26 N2 O2 S2

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

67822-00-8P 67822-01-9P 67822-02-0P ΙT

67822-03-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN

67822-00-8 CAPLUS Piperazine, 1-(3,3-di-3-thienyl-2-propenyl)-4-(2-methoxyphenyl)-, dihydrochloride (9CI) (CA INDEX NAME) CN

RN

67822-01-9 CAPLUS Piperazine, 1-(3,3-di-3-thienyl-2-propenyl)-4-(4-fluorophenyl)-, CN dihydrochloride (9CI) (CA INDEX NAME)

67822-02-0 CAPLUS RN

CN Piperazine, 1-(3,3-di-3-thienyl-2-propenyl)-4-(4-methoxyphenyl)-, dihydrochloride (9CI) (CA INDEX NAME)

RN 67822-03-1 CAPLUS
CN Piperazine, 1-(3,4-dimethylphenyl)-4-(3,3-di-3-thienyl-2-propenyl)-,
dihydrochloride (9CI) (CA INDEX NAME)

US 78-867157 AT 78-189

IN 78-CA35

19780105 19780111

19780111

							•				
A D T I P	N	ANSWER 17 OF 32 CAPLUS COPYRIGHT 1999 ACS 1978:579845 CAPLUS 89:179845 Dithienylalkylamines Kleemann, Axel; Nubert, Ingomar; Stroman, Fritz; Thiemer, Klaus Deutsche Gold- und Silber-Scheideanstalt vorm. Roessler, Ger. Ger. Offen., 64 pp.									
		CODEN: GWXXBX Patent									
		German									
	'AN . CI							- 1			
_		PATENT NO.	KIND 	DATE	API	PLICATION NO.	DATE	(
Ď	· I I	DE 2800535	A1	19780713	DE.	78-2800535	19780107				
1		GB 1597591	A	19810909		77-1121	19770112	•			
		GB 1597593	A	19810909		80-22580	19770112				
		GB 1597592	A	19810909		80-42000	19770112				
		US 4206213	A	19800603		78-867157	19780105				
		BE 862800	A1	19780710		78-46306	19780110				
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		DK 7800124	A	19780713		78-124	19780111				
		NO 7800121	A	19780713		78-101	19780111				
		SE 7800326	A	19780713		78-326	19780111				
		NL 7800350	A	19780714		78-350	19780111				
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		ZA 7800174	A	19781129		78-174	19780111				
		IN 147465	A	19800308	IN	78-CA35	19780111				
		SU 747426	D	19800723	SU	78-2563907	19780111				
		AT 7800189	A	19801015	AT	78-189	19780111				
	1	AT 362355	В	19810511							
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	I	ни 177978	P	19820228							
		HU 20590	. 0	19810828	HU	78-DE949-	19780111				
		HU 178200	P	19820328		70 700	10700113				
		FR 2377396	A1	19780811	FR	78-792	19780112				
		FR 2377396	B1	19820507	TD	70_2222	19780112				
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		FR 2382449	A1	19780929	FR	78-19759	19780703				
		FR 2382449	B1	19820219		10 13,03	25,00,00				
		US 4254269	A	19810303	US	79-56838	19790712				
		US 4281010 .	A	19810728		79-56840	19790712				
		AT 7907073	A	19801015		79-7073	19791102				
		AT 362356	В	19810511							
		IN 149408	Ā	19811128	IN	80-CA92	19800125				
		NO 8203010	A	19780713		82-3010	19820906				
Р		GB 77-1121	19770			-					
-		GB 77-1120 19770112									
		US 78-867157	19780								

$$XX^{1}NHR$$
 $XCH_{2}NHCHMeCH (OH)$ OH

Vasodilator (no data) dithienylalkylamines I [X = C(OH)CH2, C:CH; X1 =C1-5 alkylene; R = C3-7 cycloalkyl, optionally substituted CH2Ph, C1-6 alkyl, aminoalkyl, phenylpiperazino] were prepd. Thus, treating 3-bromothiophene with BuLi and BrCH2CH2CO2Et gave 96% 1,1-bis(3-thienyl)-3bromo-1-propanol, which (45.5 g) was treated with 25 g p-hydroxynorephedrine to give 15 g II [X = C(OH)CH2]. The last was dehydrated to II (X = C:CH) with HCl. ΙT 67821-81-2P 67821-87-8P 67822-00-8P 67822-01-9P 67822-02-0P 67822-03-1P 67822-06-4P 67822-07-5P 67822-08-6P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of) 67821-81-2 CAPLUS RN CN 1-Piperazinepropanol, 4-(2-methoxyphenyl)-.alpha.,.alpha.-di-3-thienyl-, (2Z)-2-butenedioate (salt) (9CI) (CA INDEX NAME) CMPpplied CRN 67821-80-1 CMF C22 H26 N2 O2 S2 HO-CH₂ CH₂

CM 2

MeO

CRN 110-16-7

CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

67821-87-8 CAPLUS RN

1-Piperazinepropanol, 4-(3-methoxyphenyl)-.alpha.,.alpha.-di-3-thienyl-, (2Z)-2-butenedioate (salt) (9CI) (CA INDEX NAME) CN

CM 1

CRN 67821-86-7

CMF C22 H26 N2 O2 S2

MeΩ

CM 2

CRN 110-16-7 CMF C4 H4 O4

CDES 2:Z

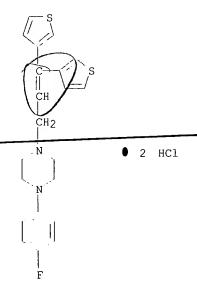
Double bond geometry as shown.

67822-00-8 CAPLUS RN

CN Piperazine, 1-(3,3-di-3-thienyl-2-propenyl)-4-(2-methoxyphenyl)-, dihydrochloride (9CI) (CA INDEX NAME)

09/127059

RN 67822-01-9 CAPLUS
CN Piperazine, 1-(3,3-di-3-thienyl-2-propenyl)-4-(4-fluorophenyl)-,
dihydrochloride (9CI) (CA INDEX NAME)



RN 67822-02-0 CAPLUS
CN Piperazine, 1-(3,3-di-3-thienyl-2-propenyl)-4-(4-methoxyphenyl)-,
dihydrochloride (9CI) (CA INDEX NAME)

```
CH<sub>2</sub>
                     2 HCl
  OMe
      67822-03-1 CAPLUS
Piperazine, 1-(3,4-dimethylphenyl)-4-(3,3-di-3-thienyl-2-propenyl)-,
RN
CN
      dihydrochloride (9CI) (CA INDEX NAME)
       CH<sub>2</sub>
                           2 HCl
```

RN 67822-06-4 CAPLUS
CN Piperazine, 1-(3,3-di-3-thienyl-2-propenyl)-4-(2-ethoxyphenyl)-,
dihydrochloride (9CI) (CA INDEX NAME)

Me

Ме

RN 67822-07-5 CAPLUS
CN Piperazine, 1-(3,3-di-3-thienyl-2-propenyl)-4-phenyl-, dihydrochloride
(9CI) (CA INDEX NAME)

● 2 HCl

RN 67822-08-6 CAPLUS
CN Piperazine, 1-(3,3-di-3-thienyl-2-propenyl)-4-(2-methylphenyl)-,
dihydrochloride (9CI) (CA INDEX NAME)

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L13 ANSWER 18 OF 32 CAPLUS COPYRIGHT 1999 ACS
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1977:170993 CAPLUS ΑN

DN 86:170993

ΤI Agents acting on the central nervous system: Part XXVI. Synthesis of some diphenylpropylamine and dibenzocycloheptenylethylamine derivatives

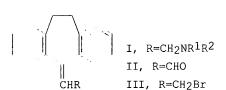
Plilai, K. M. R.; Prasad, C. R.; Kapil, R. S. ΑU

CS

Cent. Drug Res. Inst., Lucknow, India Indian J. Chem., Sect. B (1976), 14B(9), 714-16 SO CODEN: IJSBDB

DT Journal

LA English



Applied.

Ph2CHCH2CH2NRR1 or the dibenzocycloheptenes I [R1 = H, R2 = CH2CH2NMe2, cyclopentyl, indol-2-ylethyl, (2-aminoethyl)cyclopentyl, or NR1R2 = 1,2,3,4-tetrahydro-1-quinolyl, 4-phenyl-1-piperazinyl] were prepd. by condensation of Ph2C:CHCHO, Ph2C:CHCH2Br, or the dibenzocycloheptenes II or III with the appropriate amines. None of the compds. had significant activities on the cardiovascular or central nervous system.

IT 62469-23-2P

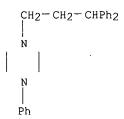
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and pharmacol. activities of)

RN 62469-23-2 CAPLUS

Piperazine, 1-(3,3-diphenylpropyl)-4-phenyl, dlhydrochloride (9CI) CN

INDEX NAME)



BERNHARDT

09/127059

Page 41

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L13 ANSWER 19 OF 32 CAPLUS COPYRIGHT 1999 ACS
     1976:463094 CAPLUS
 DN
     85:63094
TI
     s-Triazines
     Science Union et Cie.-Societe Française de Recherche Medicale, Fr.
PΑ
SO
     CODEN: JKXXAF
DΤ
     Patent
LA
     Japanese
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                         APPLICATION NO.
                     ----
                                                         DATE
ΡI
    JP 49076887
                                         -----
                      A2
                                                          ----
GI
                           19740724
                                         JP 72-119721
                                                          19721129
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The triazines I (R = CH2Ph, halogen, OMe, substituted benzyl or AΒ benzhydryl; R1 = CH2CH:CR2R3, R2,R3 = H, halogen, Me; R1 = CH2C.tplbond.CR4, R4 = H, Me) were prep. by condensing the bis(chloroethyl)triazines II with H2NR. Thus, 33 g II (R1 = CH2CH:CH2) was heated 10 hr at 150.degree. with 46 g piperonylamine in diglyme and the product was treated with HCl to give 18 g I (R = piperonyl, R1 = CH2CH: CH2). HCl. Among 17 addnl. I.bul. HCl prepd. were (R = piperonyl throughout): R1 = CH2CH:CMe2, CH2CH:CHMe, CH2C.tplbond.CH, CH2CH:CHC1. ΙT

RL: SPN (Synthetic preparation); PREP (Preparation) 27469-55-2 CAPLUS

1,3,5-Triazine-2,4-diamine, 6-[4-(3,3-diphenylpropyl)-l-piperazinyl]-N,N'di-2-propenyl- (9CI) (CA INDEX NAME)

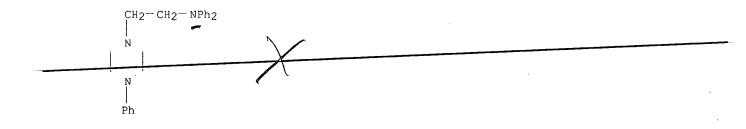
09/127059

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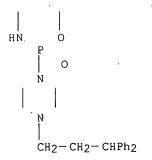
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L13 ANSWER 20 OF 32 CAPLUS COPYRIGHT 1999 ACS
 AN
      1976:421479 CAPLUS
 DN
      85:21479
      s-Triazine derivatives
 ΤI
 ΙN
      Regnier, Gilbert; Canevari, Roger
      Science Union et Cie.-Societe Française de Recherche Medicale, Fr.
 PΑ
      Can., 13 pp.
 SO
      CODEN: CAXXA4
DT
      Patent
LA
      English
FAN.CNT 1
      PATENT NO.
                         KIND DATE
                                                APPLICATION NO.
                                                                    DATE
                                -----
                         ----
                                                -----
      CA 983497
PΙ
                         A1
                               19760210
                                                CA 72~157707
      For diagram(s), see printed CA Issue.
GΙ
                                                                    19721128
     Eighteen piperazinyltriazines I (R = H2C:CHCH2, Me2C:CHCH2,
AΒ
     HC.tplbond.CCH2, ClCH:CHCH2, MeCH:CHCH2; R1 = 3,4-methylenedioxybenzyl, 3,4-(MeO)2C6H3CH2, 2,3,4-(MeO)3C6H2CH2, Ph2CH, (p-ClC6H4)2CH, etc.) were
     prepd. by treating II with R1NH2.
     27469-55-2P
ΙT
     RL: SPN (Synthetic preparation); PREP (Preparation)
         (prepn. of)
RN
     27469-55-2 CAPLUS
    1,3,5-Triazine-2,4-diamine,
6-[4-(3,3-diphenylpropyl)-1-piperazinyl]-N,N'-di-2-propenyl- (9CI) (CA INDEX NAME)
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X

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ANSWER 21 OF 32 CAPLUS COPYRIGHT 1999 ACS
L13
     1974:425292 CAPLUS
ΑN
DN
     81:25292
ΤI
     Diphenylaminoalkanamines, alkanamides, and .mu.-amino-N, N-
     diphenylalkanamides as potential biodynamic agents
     Ananthanarayanan, C. V.; Rastogi, Shri N.; Srimal, R. C.; Anand, Nitya
ΑU
     Cent. Drug Res. Inst., Lucknow, India
CS
     Indian J. Chem. (1974), 12(1), 31-7
SO
     CODEN: IJOCAP
DT
     Journal
LA
     English
     Ph2N(CH2)nR [R = Et2N, Me2CHNH, morpholino, piperidino, etc. throughout;
AΒ
n
     = 3 (I), 2 (II)], Ph2NCH2CH2COR (III), and Ph2NCO(CH2)nR (IV, n = 1-3)
     were prepd. starting from N-(.omega.-bromoalkyl)diphenylamines,
     Ph2NCH2CH2CO2H and .omega.-chloro-N, N-diphenylalkanamides, resp. I could
     also be prepd. by LAH (LiAlH4) redn. of III, but LAH redn. of IV led to
     dismutation of the mol. forming Ph2NH and .omega.-aminoalkanols. The
     compds. synthesized are in general CNS depressants; some of them also
show
     weak anorexic, hypotensive and antiinflammatory activities. A few of
them
     show significant in vitro amoebicidal activity. (Biol. activity data
     given).
     52850-18-7P
ΙT
     RL: SPN (Synthetic preparation); PREP (Preparation)
     (prepn. of)
52850-18-7 CAPLUS
RN
.CN
     1-Piperazineethanamine, N,N,4-triphenyl- (9CI) (CA INDEX NAME)
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ANSWER 22 OF 32 CAPLUS COPYRIGHT 1999 ACS
L13
    1973:136216 CAPLUS
ΑN
DN
    78:136216
    Synthesis of cyclic phosporamide piperazine derivatives with probable
ΤI
    antitumor activity
ΑU
    Zikolova, Sv.; Elenska, M.; Sheikova, G.
CS
    Tr. Nauchnoizsled. Khim.-Farm. Inst. (1972), 8, 69-76
SO
    CODEN: TKZGAG
DT
    Journal
LA
    Bulgarian
    Piperazines (I; R = R1, Me, PhCH2, Ph2CH, Ph2CHCH2, .alpha.-C10H7CH2,
AB
    YCH2CH2; Y = Ph, Ph2CH, Ph0, Ph0CH2, Me2N, Et2N, pyrrolidino, piperidino,
    morpholino) were treated with 2-chlorotetrahydro-2-oxo-2H-1,3,2-
    oxazaphosphorin (II) in C2H4Cl2 contg. Et3N at -5 to 0.degree. to give
the
     corresponding oxazaphosphorinylpiperazines (III) in 30-76% yield. III
    thus prepd. have antitumor activity against Staphylococcus aureus UF-2
and
    UF-3 comparable to that of Myleran at 1250-2500 .gamma./ml; the antitumor
    activity of III (R = PhCH2, .alpha.-C10H7CH2) exceeded that of Myleran at
    25-125 .gamma./ml.
ΙT
    41379-11-7P
    RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of)
RN
     41379-11-7 CAPLUS
    2H-1,3,2-Oxazaphosphorine, 2-[4-(3,3-diphenylpropyl)-1-
CN
    piperazinyl]tetrahydro-, 2-oxide, ethanedioate (1:1) (9CI) (CA INDEX
    NAME)
    CM
         1
    CRN 48218-74-2
    CMF C22 H30 N3 O2 P
```



 χ

CM 2

CRN 144-62-7

BERNHARDT 09/127059

Page 46

CMF C2 H2 O4

09/127059

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ANSWER 23 OF 32 CAPLUS COPYRIGHT 1999 ACS ΑN 1972:539981 CAPLUS 77:139981 Central nervous system depressants. New purine derivatives TT Regnier, G.; Canevari, R.; Le Douarec, J. C.; Laubie, M. ΑU Lab. Servier, Sci. Union et Cie, Suresnes, Fr. CS Chim. Ther. (1972), 7(3), 192-205 SO CODEN: CHTPBA DT Journal LA French GI For diagram(s), see printed CA Issue. Piperazinopurines (I, R = aryl, aralkyl, 2-pyridinyl, 2-pyrimidinyl; R1 =AB H, Me, allyl, CH2CH2OH, CH2CH(OH)CH2OH, piperonyl, o-MeOC6H4) and their 6-piperazinopurine analogs (52 compds.) were prepd. by cyclizing the diaminopyrimidine with HC(OEt)3-Ac2O or HOAc-HCONH2. The 6,9-disubstituted purines were obtained by treating the 6-chloropurine with the piperazine deriv. I (R1 = CH2CH(OH)CH2OH) were obtained by treating I (R = H) with ClCH2CH(OH)CH2OH and NaH. Besides their central nervous system depressant activity the piperazinopurines showed some adrenolytic and antiinflammatory activity.

IT 24926-63-4P 37425-11-9P 37425-12-0P

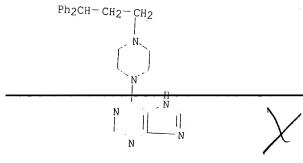
37425-13-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

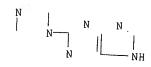
RN 24926-63-4 CAPLUS

CN 1H-Purine, 6-[4-(3,3-diphenylpropyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 37425-11-9 CAPLUS CN 1H-Purine, 2-[4-(3,3-diphenylpropyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

 $Ph_2CH-CH_2-CH_2$



RN

37425-12-0 CAPLUS 1H-Purine, 2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]-, dimethanesulfonate (9CI) (CA INDEX NAME) CN

CM

CRN 47770-05-8 CMF C30 H30 N6

Ph3C-CH2-CH2

CM

CRN 75-75-2 CMF C H4 O3 S

RN 37425-13-1 CAPLUS

9H-Purine, 9-(2-propenyl)-2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]-, dimethanesulfonate (9CI) (CA INDEX NAME) CN

CM

CRN 47806-67-7 CMF C33 H34 N6

Ph₃C-CH₂-CH₂

$$\begin{array}{c|c} CH_2-CH = CH_2 \\ \hline N & N & N \\ \hline N & N & N \end{array}$$

CM 2

CRN 75-75-2 CMF C H4 O3 S

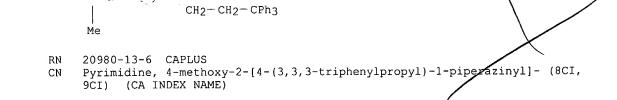


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CN

INDEX NAME)

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L13 ANSWER 24 OF 32 CAPLUS COPYRIGHT 1999 ACS
     1972:148745 CAPLUS
ΑN
DN
     76:148745
     Triphenylpropylpiperazine derivatives as new potent analgetic substances
ΤI
     Regnier, G. L.; Canevari, R. J.; Le Douarec, J. C.; Holstorp, S.; Daussy,
ΑIJ
     Chem. Res. Div., Sci. Union et Cie., Suresnes, Fr.
CS
     J. Med. Chem. (1972), 15 295-301
SO
     CODEN: JMCMAR
DТ
     Journal.
LA
     English
     Sixty-four 1-triphenylpropyl 4-heterocyclic substituted piperazines (I)
AB
     with a methadone-like structure were prepd., e.g., by condensation of
     haloheterocycles or 2-methylthiopyrimidine with N-monosubstituted
     piperazines or the Cl atom of a 1-substituted 4-(chloropyridazinyl or
     s-triazinyl)piperazine was hydrogenolyzed under pressure over Pd/C.
     Structure I was divided into 4 portions and each one varied selectively.
     To explain complicated structure-analgesic activity relations
     1-(3,3,3-triphenylpropyl)-4-(2-pyrimidyl)piperazine (II) [
     20980-06-7], having analgetic potency between that of morphine and
     codeine, was used to illustrate how modifications in its 4 main parts
(see
     I) influence analgesic activity (mice hot plate test and phenylquinone
     writhing test). The presence of only 2 Ph groups in part A of II
     abolished analgesic properties. In part B, the introduction of an addnl.
     CH2 decreased activity. In part C, any modification of the piperzine
     was unfavorable. In part D the kind of heterocyclic nucleus closely
detd.
     activity, the order of activity being pyrazinyl > pyrimidyl > pyridyl >
     pyridazinyl > triazinyl. 1-(3,3,3-Triphenylpropyl)-4-(2-
     pyrazinyl)piperazine (III) [34675-79-1] was the most active in
     the series but induced bizarre behavioral effects after cessation of a
     3-week treatment. 1-(3,3,3-Triphenylpropyl)-4-(4-allylamino-2-
     pyrimidyl)piperazine (IV) [20980-18-1] antagonized the actions
     of II and III while increasing the morphine effect. 1-(3,3,3-
     Triphenylpropy1)-4-(3-pyridazinyl)piperazine (V) [34675-81-5]
     was pharmacol. similar to codeine [76-57-3].
     20980-06-7 20980-11-4 20980-12-5
     20980-13-6 20980-14-7 20980-16-9
     20980-17-0 20980-18-1 21026-25-5
     21162-92-5 21801-31-0 34675-79-1
     34675-81-5 36371-39-8 36371-40-1
     36371-41-2 36371-43-4 36371-45-6
     36371-60-5 36371-61-6 36524-61-5
     36524-62-6 36524-71-7 36524-72-8
     36524-74-0 36524-75-1 36524-78-4
     36524-80-8 36524-82-0 36524-83-1
     RL: BAC (Biological activity or effector, except adverse); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
        (analgesic activity of)
RN
     20980-06-7 CAPLUS Pyrimidine, 2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]- (8CI, 9CI)
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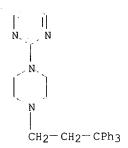
09/127059

MeO

20980-14-7 CAPLUS RN

4-Pyrimidinamine, 2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]- (9CI) (CA CN INDEX NAME)

H2N



RN 20980-16-9 CAPLUS

4-Pyrimidinamine, N-methyl-2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]-(9CI) (CA INDEX NAME)



RN 20980-17-0 CAPLUS CN 4-Pyrimidinamine,

N, N-dimethyl-2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]-(9CI) (CA INDEX NAME)

CH2-CH2-CPh3

RN 20980-18-1 CAPLUS
CN 4-Pyrimidinamine,
N-2-propenyl-2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl](9CI) (CA INDEX NAME)

RN 21026-25-5 CAPLUS
CN Pyrimidine, 4,5-dimethyl-2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 47758-61-2 CMF C31 H34 N4

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E Double bond geometry as shown.

E CO2H

HO₂C

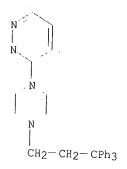
● 2 HCl

RN 21801-31-0 CAPLUS CN Piperazine, 1-(2-pyridinyl)-4-(3,3,3-triphenylpropyl)- (9CI) (CA INDEX NAME)

RN 34675-79-1 CAPLUS CN Pyrazine, [4-(3,3,3-triphenylpropyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 34675-81-5 CAPLUS

CN Pyridazine, 3-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 36371-39-8 CAPLUS

CN Pyridazine, 3-[4-(3,3-diphenylpropyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN

36371-40-1 CAPLUS

CN Pyrazine, [4-(3,3-diphenylpropyl)-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

exclv den.

```
N
N
CH<sub>2</sub>-CH<sub>2</sub>-CHPh<sub>2</sub>
```

● 2 HCl

```
RN 36371-41-2 CAPLUS

CN Pyrimidine,

2-[4-[3-(4-methoxyphenyl)-3,3-diphenylpropyl]-1-piperazinyl]-,

dimethanesulfonate (9CI) (CA INDEX NAME)
```

CRN 47758-59-8 CMF C30 H32 N4 O

CM 2

CRN 75-75-2 CMF C H4 O3 S

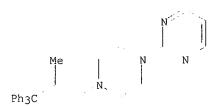
CN

RN

36371-43-4 CAPLUS
Pyrimidine, 2-[4-(2-methyl-3,3,3-triphenylpropyl)-1-piperazinyl]-,
dimethanesulfonate (9CI) (CA INDEX NAME)

CM

CRN 47738-67-0 CMF C30 H32 N4



CM 2

CRN 75-75-2 CMF C H4 O3 S

36371-45-6 CAPLUS

Pyrazine, [4-(2-methyl-3,3,3-triphenylpropyl)-1-piperazinyl]-, dimethanesulfonate (9CI) (CA INDEX NAME) CN

1 CM

CRN 47738-66-9 CMF C30 H32 N4



CM 2

CRN 75-75-2

CMF C H4 O3 S

RN 36371-60-5 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-ethoxy-2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 36371-61-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-ethoxy-2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 36524-61-5 CAPLUS

CN 4-Pyrimidinamine, N-cyclopropyl-N-methyl-2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

BERNHARDT

09/127059

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2 HCl

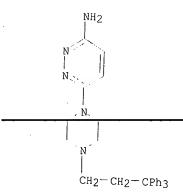
RN 36524-62-6 CAPLUS

CN 4-Pyrimidinamine, N-2-cyclopenten-1-yl-2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

$$NH \longrightarrow N$$
 N
 N
 $CH_2-CH_2-CPh_3$

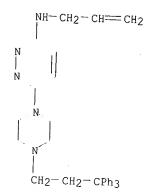
RN 36524-71-7 CAPLUS

CN 3-Pyridazinamine, 6-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 36524-72-8 CAPLUS CN 3-Pyridazinamine,

N-2-propenyl-6-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 · HCl

36524-74-0 CAPLUS RN CN

Pyrazine, 2,5-dimethyl-3-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Ме CH2-CH2-CPh3

● 2 HCl

36524-75-1 CAPLUS CN

Pyrazinamino, 3 [4 Pyrazinamine, 3 [4 (3,3,3-triphenylpropyl)-1-piperazinyl]-, dimethanesulfonate (9CI) (CA INDEX NAME)

CM

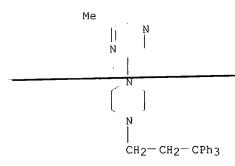
CRN 47739-03-7

CMF C29 H31 N5

CM 2

CRN 75-75-2 CMF C H4 O3 S

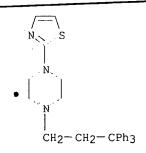
RN 36524-78-4 CAPLUS
CN Pyrazine, 2-methyl-6-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]- (9CI)
(CA INDEX NAME)



RN 36524-80-8 CAPLUS CN 1,3,5-Triazin-2-amine, 4-[4-(3,3,3-triphenylpropyl)-l-piperazinyl]- (9CI) (CA INDEX NAME)

RN 36524-82-0 CAPLUS
CN 1,3,5-Triazine-2,4-diamine, N,N'-di-2-propenyl-6-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

CRN 47693-41-4 CMF C28 H29 N3 S



CM 2

CRN 75-75-2 CMF C H4 O3 S

```
20980-09-0P 20980-15-8P 20980-19-2P
ΙT
     20980-20-5P 21026-26-6P 21026-27-7P
     36371-38-7P 36371-42-3P 36371-47-8P
     36371-48-9P 36371-50-3P 36371-51-4P
     36371-52-5P 36371-57-0P 36371-62-7P
     36371-63-8P 36371-64-9P 36371-65-0P
     36478-02-1P 36524-54-6P 36524-55-7P
     36524-60-4P 36524-63-7P 36524-64-8P
     36524-65-9P 36524-70-6P 36524-73-9P
     36524-76-2P 36524-77-3P 36524-79-5P
     36524-81-9P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of)
     20980-09-0 CAPLUS
RN
CN
     Pyrimidine, 4-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]- (8CI, 9CI) (CA
  Ν
```

RN 20980-15-8 CAPLUS
CN 2-Pyrimidinamine, 4-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 20980-19-2 CAPLUS
CN Quinazoline, 4-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]-,
dihydrochloride
(8CI, 9CI) (CA INDEX NAME)

● 2 HCl

RN 20980-20-5 CAPLUS CN Pyrimidine, 2-[4-(3,3-diphenylpropyl)-1-piperazinyl]- (8CI, 9CI) (CAINDEX NAME)



CH2-CH2-CHPh2

CM 1

CRN 47787-36-0 CMF C33 H32 N4

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

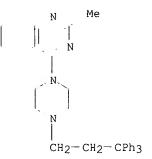
Double bond geometry as shown.

E CO2H

HO₂C

CM 1

CRN 47796-32-7 CMF C34 H34 N4



CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

E CO2H

HO₂C

RN 36371-38-7 CAPLUS

CN 1-Piperazinebutanenitrile, .alpha.,.alpha.-diphenyl-4-(2-pyrimidinyl)-(9CI) (CA INDEX NAME)

excluded

RN 36371-42-3 CAPLUS
CN Pyrimidine, 2-[4-(3-[1,1'-biphenyl]-4-yl-3,3-diphenylpropyl)-1piperazinyl]-, dimethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 47806-65-5 CMF C35 H34 N4

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN

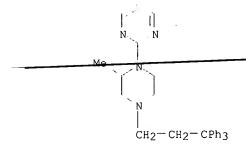
36371-47-8 CAPLUS
Pyrimidine, 2-[3-methyl-4-(3,3,3-triphenylpropyl)-1-piperazinyl]-, CN dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N & & & \\ & & & \\ N & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ & & \\$$

2 HCl

RN 36371-48-9 CAPLUS

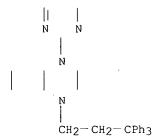
Pyrimidine, 2-[2-methyl-4-(3,3,3-triphenylpropyl)-1-piperazinyl]-, CN dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

36371-50-3 CAPLUS RN

Quinoxaline, decahydro-1-(2-pyrimidinyl)-4-(3,3,3-triphenylpropyl)- (9CI) CN (CA INDEX NAME)



RN 36371-51-4 CAPLUS
CN 4-Pyrimidinamine,

2-[4-(2-methyl-3,3,3-triphenylpropyl)-1-piperazinyl]-N-2-propenyl-, dihydrochloride (9CI) (CA INDEX NAME)

Ph3C

• 2 HCl

RN 36371-52-5 CAPLUS CN 4-Pyrimidinamine,

2-[3-methyl-4-(3,3,3-triphenylpropyl)-1-piperazinyl]-N-2-propenyl-, dihydrochloride (9CI) (CA INDEX NAME)

● 2 HC1

CN Pyrimidine, 4,5,6-trimethyl-2-(4-(3,3,3-triphenylpropyl)-1-piperazinyl]-(9CI) (CA INDEX NAME)

09/127059

```
CO2H
|
| |
| N N N |
| N N |
| CH2-CH2-CPh3
```

RN 36371-64-9 CAPLUS
CN 5-Pyrimidinecarboxamide, N,N-dimethyl-2-[4-(3,3,3-triphenylpropyl)-1piperazinyl]- (9CI) (CA INDEX NAME)

RN 36371-65-0 CAPLUS
CN 5-Pyrimidinecarbonitrile, 2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl)(9CI) (CA INDEX NAME)

RN 36478-02-1 CAPLUS
CN Pyrimidine, 4,6-dimethyl-5-phenyl-2-[4-(3,3,3-triphenylpropyl)-1piperazinyl]- (9CI) (CA INDEX NAME)

Me N
$$\sim$$
 N \sim N \sim Ph \sim N \sim CH2-CH2-CPh3

RN 36524-54-6 CAPLUS
CN Pyrimidine, 5-chloro-2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]- (9CI)
(CA INDEX NAME)

RN 36524-55-7 CAPLUS CN 5-Pyrimidinesulfonamide, N,N-dimethyl-2-[4-(3,3,3-triphenylpropyl)-1piperazinyl] - (9CI) (CA INDEX NAME)

RN 36524-60-4 CAPLUS

4-Pyrimidinamine, N-(2 phenylethy1)-2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

● 2 HCl

RN 36524-63-7 CAPLUS

CN 4,5-Pyrimidinediamine, N4-2-propenyl-2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

$$H_{2N}$$
 N
 N
 N
 N
 N
 N
 N
 $CH_2-CH_2-CPh_3$
 $NH-CH_2-CH=CH_2$

RN 36524-64-8 CAPLUS

CN 4-Pyrimidinamine, N-(3-methyl-2-butenyl)-2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

CH2-CH2-CPh3

RN 36524-65-9 CAPLUS

CN 5-Pyrimidinemethanamine, N, N-dimethyl-2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 47787-37-1 CMF C32 H37 N5

```
CH2-NMe2

| I|
N N
|
N |
CH2-CH2-CPh3

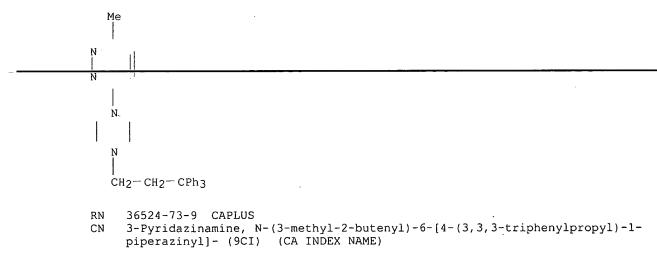
CM 2
CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

E CO2H

HO2C

RN 36524-70-6 CAPLUS
CN Pyridazine, 3-methyl-6-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]- (9CI)
(CA INDEX NAME)
```



CM 1

CRN 47739-04-8 CMF C29 H31 N5

CM 2

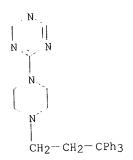
CRN 75-75-2 CMF C H4 O3 S

RN 36524-77-3 CAPLUS CN Pyrazinamine, N-2-propenyl-6-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME) 09/127059

$$_{\rm H_2C} = _{\rm CH-CH_2-NH}$$
 $_{\rm N}$
 $_{\rm N}$
 $_{\rm N}$
 $_{\rm N}$
 $_{\rm N}$
 $_{\rm CH_2-CH_2-CPh_3}$

• 2 HCl

36524-79-5 CAPLUS RN 1,3,5-Triazine, 2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



0 2 HCl

36524-81-9 CAPLUS 1,3,5-Triazine-2,4-diamine, 6-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]-, RN CN dimethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 47758-60-1 CMF C28 H31 N7

CM 2

CRN 75-75-2 CMF C H4 O3 S

```
=> d bib abs hitstr 25
    ANSWER 25 OF 32 CAPLUS COPYRIGHT 1999 ACS
L13
    1971:431808 CAPLUS
ΑN
     75:31808
    Antimicrobial activity of piperazine derivatives and related compounds
ΤT
ΑU
     Patel, Madhuben R.; Bellare, Ramesh A.; Deliwala, Chimanlal V.
     Dep. Chemother., Haffkine Inst., Bombay, India
CS
     Indian J. Exp. Biol. (1971), 9(1), 117-19
SO
     CODEN: IJEBA6
DT
     Journal
LA
     English.
     For diagram(s), see printed CA \Issue.
GΙ
AB
     Basic benzhydryl ethers, thioethers, and ethylenediamine derivs. contg. a
    piperazine ring (I, R = -NHCH2CH2-, -SCH2CH2-, -OCH2CH2-, -O(CH2)4-,
     -CH2CO-, or -CH2CH2- and R1 = alkyl, aralkyl, or other aromatic groups)
     were tested for in vitro activity against gram pos. and gram neg.
    bacteria, Vibrio comma, Mycobacterium tuberculosis, yeast, and fungi.
     None of the compds. showed significant activity against Candida albicans,
     and a very low order of activity was obsd. against Salmonella typhi,
     Vibrio comma, and Staphylococcus aureus. A majority of the compds.
showed
     activity against M. tuberculosis (64 out of 79 compds. tested) but
     significant activity was confined to the ethylenediamine series (I, R =
     -NHCH2CH2-). The most active antituberculosis compds. were
     N'-[(p-chloro-phenylbezylamino)ethyl]-N-(2-hydroxypropyl)piperazine,
     N'-[(p-chlorophenylbenzylamino)ethyl]-N-(m-methylbenzyl)piperazine,
    N'-[(p-chlorophenylbenzylamino)ethyl]-N-(o-methoxyphenyl)piperazine, and
    N'-[(p-chlorophenylbenzylamino)ethyl]-N-(2-thiazolyl)piperazine, with
min.
     inhibitory concn. of 5 .mu.g/ml.
```

RN 33656-13-2 CAPLUS

33656-19-8 33656-21-2

(Biological study)

33656-13-2 33656-14-3 33656-15-4

33656-16-5 33656-17-6 33656-18-7

(bactericidal activity of)

=>

ΙT

CN Piperazine, 1-(o-chlorophenyl)-4-[3-(p-chlorophenyl)-3-phenylpropyl](8CI) (CA INDEX NAME)

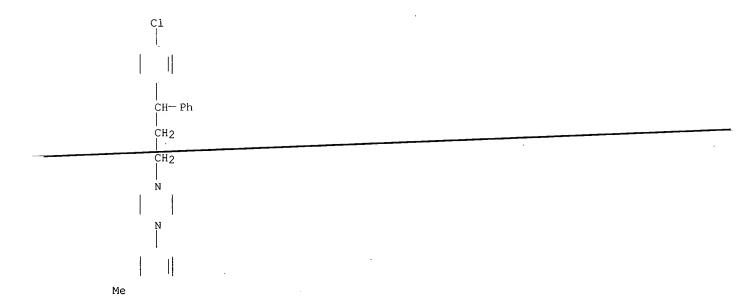
RL: BAC (Biological activity or effector, except adverse); BIOL

ex clude d.

RN 33656-14-3 CAPLUS
CN Piperazine, 1-[3-(p-chlorophenyl)-3-phenylpropyl]-4-(o-methoxyphenyl)(8CI) (CA INDEX NAME)

RN 33656-15-4 CAPLUS CN Piperazine, 1-[3-(p-chlorophenyl)-3-phenylpropyl]-4-o-tolyl- (8CI) (CA INDEX NAME)

RN 33656-16-5 CAPLUS
CN Piperazine, 1-[3-(p-chlorophenyl)-3-phenylpropyl]-4-m-tolyl- (8CI) (CA INDEX NAME)



RN 33656-17-6 CAPLUS CN Piperazine, 1-[3-(p-chlorophenyl)-3-phenylpropyl]-4-p-tolyl- (8CI) (CA INDEX NAME) BERNHARDT

09/127059

Page 4

PAGE 1-A

PAGE 2-A

Ме

RN CN

33656-18-7 CAPLUS
Piperazine, 1-[3-(p-chlorophenyl)-3-phenylpropyl]-4-(2-pyridyl)- (8CI)
(CA INDEX NAME)

RN 33656-19-8 CAPLUS
CN Piperazine, 1-[3-(p-chlorophenyl)-3-phenylpropyl]-4-(2-thiazolyl)- (8CI)
(CA INDEX NAME)

RN 33656-21-2 CAPLUS

CN Pyrimidine, 2-[4-[3-(p-chlorophenyl)-3-phenylpropyl]-1-piperazinyl]-(8CI)

(CA INDEX NAME)

09/127059

CH 69-517754

US 69-861448

SE 69-13373

NL 69-14749

NO 69-3917

DK 69-5228

FI 69-2827

BR 69-212898

19690926

19690926

19690929

19690930

19691001

19691001

19691001

19691001

=> d bib abs hitstr 26

```
ANSWER 26 OF 32 CAPLUS COPYRIGHT 1999 ACS
     1970:520681 CAPLUS
ΑN
     73:120681
     s-Triazine derivatives, and their analeptic respiratory activity
ΤT
     Science Union et Cie.-Societe Française de Recherche Medicale
PΑ
SO
     Belg., 14 pp. CODEN: BEXXAL
DT
     Patent
LA
     Unavailable
FAN.CNT 2
     PATENT NO.
                       KIND DATE
                                             APPLICATION NO.
                                                               DATE
                       ----
                        Α
                             19700323
                                             BE 69-739283
     BE 739283
                                                               19690923
                             19711208
                                             GB 68-46802
     GB 1256513
                        Α
                                                               19681002
     AT 291271
                        В
                             19710712
                                             AT 69-8674
                                                               19690912
     ES 371943
                        Α1
                             19711116
                                             ES .69-371943
                                                               19690926
```

19720115

19720307

19721030

19700406

19720703

19730524

19741104

19750401 FI 49512 PRAI GB 68-46802 19681002

For diagram(s), see printed CA Issue.

Α

Α

В

Α

В

Α0

В

В

The title compds. (I), with low toxicity and with analeptic effect on respiration, were prepd. Thus, DMF contg.

4,6-bis(allylamino)-2-chloro-s-

triazine and 1-piperonylpiperazine was refluxed 9 hr and treated with iso-PrOH satd. with dry HCl to give 52.3% I(R = allyl, R1 = piperonyl).-2HCl. Similarly were prepd. 23 related compds. either as free

bases or salts.

27469-5<u>5-2P</u>

ΙT

CH 517754

US 3647794

SE 350498

NL 6914749

NO 124996

DK 129656

BR 6912898

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

27469-55-2 CAPLUS

1,3,5-Triazine-2,4-diamine,

6-[4-(3,3-diphenylpropyl)-1-piperazinyl]-N,N'di-2-propenyl- (9CI) (CA INDEX NAME)

=> d bib abs hitstr 27

```
L13 ANSWER 27 OF 32 CAPLUS COPYRIGHT 1999 ACS
     1970:121586 CAPLUS
ΑN
DN
     72:121586
     2-Piperazino-4,6-bis(allylamino)-sym-triazines against respiration
TΤ
     insufficiency
ΤN
     Regnier, Gilbert; Canevari, Roger; Laubie, Michel
PΑ
     Science Union et Cie.-Societe Française de Recherche Medicale
SO
     Ger. Offen., 16 pp.
     CODEN: GWXXBX
DT
     Patent
LA
     German
FAN.CNT 2
     PATENT NO.
                       KIND DATE
                                             APPLICATION NO.
                                                               DATE
                       ----
     DE 1947332
                             19700409
                                             DE 69-1947332
                                                               19690918
                       Α
     DE 1947332
                       C3
                             19730412
                                             GB 68-46802
                                                               19681002
     GB 1256513
                      Α
                             19711208
                                                               19690912
     AT 291271
                      В
                             19710712
                                             AT 69-8674
                                             ES 69-371943
                                                               19690926
     ES 371943
                       A1
                             19711116
     CH 517754
                             19720115
                                             CH 69-517754
                                                               19690926
                       Α
                                             US 69-861448
     US 3647794
                       A
                             19720307
                                                               19690926
     SE 350498
                       В
                             19721030
                                             SE 69-13373
                                                               19690929
     NL 6914749
                      Α
                             19700406
                                             NL 69-14749
                                                               19690930
                                             NO 69-3917
                      В
                             19720703
                                                               19691001
     NO 124996
     BR 6912898
                       Α0
                             19730524
                                             BR 69-212898
                                                               19691001
     DK 129656
                             19741104
                                             DK 69-5228
                                                               19691001
                       В
     FI 49512
                       В
                             19750401
                                             FI 69-2827
                                                               19691001
PRAI GB 68-46802
                      19681002
     For diagram(s), see printed CA Issue.
GT
     s-Triazines (I), respiratory analeptics, were prepd. from the
     corresponding 2-chloro derivs. and piperazines. Thus, 0.0443 mole
     4,6-bis(allylamino)-2-chloro-s-triazine and 0.0886 mole
     1-piperonylpiperazine in 300 ml HCONMe2 was refluxed 9 hr at 150.degree.
     and acidified to give 52.3% I.2HCl (R = piperonyl, R1 = CH:CH2), m.
     228-9.degree.. Similarly prepd. were I (R1 = CH:CH2) (R, salt, and m.p. salt given): 3,4 (ethylenedioxy)-benzyl, fumarate monohydrate,
     105-15.degree. (decompn.); 3,4(MeO)2C6H3CH2, fumarate, 105-12.degree.;
     2,3,4-(MeO)3C6H2CH2, di hydrochloride monohydrate, 167-73.degree.;
     4-FC6H4CH2, dihydrochloride, 220-5.degree.; Ph, dihydrochloride,
     220-4.degree.; 2-MeOC6H4, dihydrochloride, 202-21.degree. (decompn.);
     3-F3CC6H4, base, 115.degree.; 2-pyridyl, dihydrochloride monohydrate,
     212-14.degree.; 2-pyrimidinyl, dihydrochloride hemihydrate,
     228-32.degree.; 2-pyrazinyl, dihydrochloride dihydrate, 318-25.degree.;
     PhCH2CH2, dihydrochloride, 239-47.degree.; PhCH2CHMe, base,
100-3.degree.;
     PhCH:CHCH2, dihydrochloride 2.5-hydrate, 215-22.degree.; Ph2CH,
     bis(methanesulfonate), 220-31.degree.; (4-FC6H4)2CH, base,
     (4-CIC6H4)2-CH, bis(methanesulfonate), 236-40.degree.; Ph2CHCH2CH2, base,
     104-8.degree.; H, dihydrochloride, 259-63.degree.. I.2HCl (R =
piperonyl,
     R1 = CH:CMe2), m. 222-7.degree., I (R = piperonyl, R1 = CH:-CHMe)
     fumarate, m. 155-8.degree., I [R = (4-FC6H4)2CH, R1 = trans-CH:CHCl], m.
     124.degree., and I.2HCl (R = piperonyl, Rl = C.tplbond.CH), m. 180-220.degree. (decompn.) were also prepd. I and their salts have low
```

Page 9

toxicity; LD50 (mice) 50-400 or 400-2000 mg/kg when applied i.p. or per os. resp.

ΙT 27469-55-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
27469-55-2 CAPLUS
1,3,5-Triazine-2,4-diamine,

RN

CN

6-[4-(3,3-diphenylpropyl)-1-piperazinyl]-N,N'-di-2-propenyl- (9CI) (CA INDEX NAME)



=> d bib abs hitstr 28

```
ANSWER 28 OF 32 CAPLUS COPYRIGHT 1999 ACS
L13
     1970:55401 CAPLUS'
ΑN
DN
     Syntheses of N-heterocyclic compounds. I. Syntheses of
ΤŢ
      2,4,5-trisubstituted pyrimidine derivatives
ΑU
     Yurugi, Shojiro; Tomimoto, Mitsumi; Fushimi, Tomiyoshi
     Takeda Chem. Ind. Ltd., Osaka, Japan
CS
     Takeda Kenkyusho Nempo (1969), 28, 1-11
SO
     CODEN: TOKNAF
DΨ
     Journal
     Japanese
LA
     For diagram(s), see printed CA Issue.
GT
      I were prepd. by the condensation reaction of R1C(:NH)NH2 with
     EtOCH:C(CO2Et)2 or by the replacement reaction of
2-(methylthio)-4-hydroxy-
      5-(ethoxycarbonyl)pyrimidine with amines. I were treated with amines to
     give II. III, obtained from 2-(methylthio)-4-chloro-5-
     (ethoxycarbonyl)pyrimidine (IV), were treated with 1-benzylpiperidine to give V. Reaction of IV with HN(CH2CH2OH)2 gave 96.8% VI, m. 145.degree..
     The following I were prepd. (R1, % yield, and m.p. given): morpholino,
      55.3, 163-4.degree.; pyrrolidinyl, 43.5, 192-3.degree.; piperidyl, 23.9,
      142-3.degree.; 1-benzy lpiperazinyl, 35.8, 147-8.degree.;
     1-(2-hydroxyethyl)piperazinyl, 63.3, 130.degree. (decompn.);
1-(2,3,4-trimethoxybenzyl)piperazinyl, 87.8, 105-7.degree.;
      1-(3,4-dimethoxybenzyl)piperazinyl, 68.2, 147-50.degree.;
     1-(diphenylmethyl)piperazinyl, 89, 236.degree.; 1-(3,3-diphenylpropyl)piperazinyl, 80.0, 133-5.degree.; 1-(2-
     phenethyl)piperazinyl, 90.0, 185-6.degree.; 1-(3,4-methylene-
     dioxybenzyl)piperazinyl, 89.0, 153-4.degree.; 2-piperidylethylamino,
47.7,
     146.degree. (di-HCl salt, m. 220-3.degree.); and
2-hydroxyphenethyl-amino,
     26.4, 242-3.degree. The II prepd. were as follows (R2, R3, % yield, and m.p. given): C5H11NH, NHNH2, 53.0, 218-20.degree.; iso-C5H11NH, NHNH2,
     53.0, 214-15.degree.; PhCH2NH, NHNH2, 55.0, 229-30.degree. (decompn.);
     morpholino, morpholino, 30.6, 233-5.degree.; morpholino, NHNH2, 70.0,
     265.degree.; morpholino, NHPr-iso, 76.0, 220-1.degree.; morpholino,
     1-benzylpiperazinyl, 43.9, 120.degree. (decompn.); 1-benzylpiperazinyl, NHNH2, 35.7, 213-15.degree.; Ph-CH2NH, 1-benzylpiperazinyl, 67.8,
     125-30.degree.; PhCH2NH, NH-Pr-iso, 58.0, 247-8.degree.; PhCH2NH,
     morpholino, 62.5, 192-4.degree.; 1-(2-hydroxyethyl)piperazinyl, NHPr-iso,
      49.0, 204-5.degree.; 1-(2-hydroxyethyl)piperazinyl, 1-(2-
     hydroxyethyl)piperazinyl, 10.0, 75.degree. (decompn.);
1-(2-hydroxyethyl)piperazinyl, 1-benzylpiperazinyl, 53.3, 147-50.degree.
      (decompn.); 1-(2,3,4-trimethoxybenzyl) piperazinyl, morpholino, 54.8,
      196-7.degree.; 1-benzylpiperazinyl, NH2, 51.0, 195-6.degree.;
      1-(phenethyl)piperazinyl, morpholino, 86.8, 234.degree. (decompn.);
      1-(3,4-dimethoxybenzyl)piperazinyl, morpholino, 100, 229.degree.
      (decompn.); 1-(3,3-diphenylpropyl)piperazinyl, morpholino, 71.4,
      187.degree.; 1-(3,4-methylenedioxybenzyl)piperazinyl, morpholino, 87.6,
      219.degree.; 1-(2,3,4-trimethoxybenzyl)-piperazinyl, NHPr-iso, 43.5,
      177.degree.; 2-hydroxyphenethylamino, morpholino, 44.6, 178-9.degree.
      (decompn.); 2-hydroxyphenethyl-amino, 1-benzylpiperazinyl, 28.0, 170-2.degree.; and NHNH2, NHNH2, 70, 275.degree.. The III prepd. were as
      follows (R4, % yield, and m.p. given): NHPr-iso, 98.0, 50.degree.;
```

1-benzylpiperazinyl, 50.0, 178.degree. (decompn.); and NH(CH2)2NEt2, 50.0,

178.degree. (decompn.). The V prepd. were as follows (R5, % yield, and m.p. given): NH2, 67.0, 130.degree.; NHCHMe2, 72.0, 211.degree. (decompn.); NHCH2-Ph, 60, 208.degree. (decompn.); 1-benzylpiperazinyl, 61.5, 230.degree. (decompn.); and NH(CH2)2NEt2, 45.0, 220.degree. (decompn.). The NMR spectrum of VI is presented.

IT25693-49-6P 25693-74-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of) 25693-49-6 CAPLUS RN

5-Pyrimidinecarboxylic acid, 2-[4-(3,3-diphenylpropyl)-1-piperazinyl]-4-CN hydroxy-, ethyl ester (8CI) (CA INDEX NAME)



RN

25693-74-7 CAPLUS
Morpholine, 4-[[2-[4-(3,3-diphenylpropyl)-1-piperazinyl]-4-hydroxy-5pyrimidinyl]carbonyl]- (8CI) (CA INDEX NAME)

=> d bib abs hitstr 29

```
ANSWER 29 OF 32 CAPLUS COPYRIGHT 1999 ACS
 L13
 AN
      1970:31840 CAPLUS
 DN
      72:31840
      Analgesic and hypotensive 2-and 6-(4-substituted-1-pyperazinyl) purines
 TI
      Regnier, Gilbert; Canevari, Roger; Le Douarec, Jean C.; Laubie, Michel
 IN
      Science Union et Cie. - Societe Française de Recherche Medicale
 PΑ
 SO
      U.S., 5 pp.
      CODEN: USXXAM
 DТ
      Patent
 LA
      English
 FAN.CNT 1
      PATENT NO.
                       KIND DATE
                                            APPLICATION NO.
                       ~---
                             -----
      US 3457263
 PI
                             19690722
                       А
                                            US 67-694357
                                                             19671229
      GB 1165283
                       Α
                             19690924
                                           GB 67-2446
      BE 709014
                                                             19670117
                       Α
                            19680705
                                           BE 68-709014
     CH 490404
                                                             19680105
                       Α
                            19700515
                                           CH 68-490404
     FR 1550912
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                                           FR 68-1550912
     ES 349429
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                       A1
                            19690401
                                           ES 68-349429
     FR 7559
                                                            19680117
                       М
                            19691229
                                           FR 68-7559
PRAI GB 67-2446
                                                            19680329
                      19670117
     For diagram(s), see printed CA Issue.
GT
     To prep. title purines (I) chloro-substituted 4-amino-5-nitropyrimidines
     (II) were treated with N-monosubstituted piperazines (III) in a polar
     solvent, e.g. DMF (dimethylformamide) at 110-140.degree. 14 hr in the
     presence of an acid acceptor, e.g. Na2CO3. The resulting IV is
     hydrogenated at room temp. under 2-10 atm in the presence of a catalyst,
     e.g. Raney Ni. The resulting diamino compd. (V) is then cyclized to give
     I e.g. by heating it in an excess of ethyl orthoformate in the presence
of
     Ac20 6 hr at 110-30.degree.. Prepd. were 2-[4-(diphenylmethyl)-1-
     piperazinyl]-purine, m. 210-12.degree. (decompn.), bismethanesulfonate
    deriv. m. 229-311.degree.; 2-(4-cinnamylpiperazin-1-yl)purine, m.
     260.degree.; 6-[4-(diphenylmethyl)-1-piperazinyl]purine, m.
275-6.degree.,
    bismethanesulfonate deriv., m. 230.degree.. Other I prepd. were (R, R1,
    point of connection to the ring, and m.p. are given): piperonyl, H, 2,
```

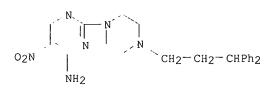
(di-HCl salt m. 195-6.degree.); o-methoxyphenyl, H, 2, 239-40.degree. (di-HCl salt 162-4.degree.); 3,3-diphenylpropyl, H, 2, 88-91.degree.; 2-pyrimidinyl, H, 2, 282-4.degree.; 2-pyrimidinyl, Me, 2, 195-8.degree.; diphenylmethyl, Me, 2, 193-5.degree.; piperonyl, H, 6, 257.degree.; cinnamyl, H, 6, 224.degree.; phenylisopropyl, H, 6, 215-17.degree.; o-methoxyphenoxyethyl, H, 6, 209.degree.; 2-phenylethyl, H, 6, 243.degree.; 3,3-diphenylpropyl, H, 6, 200.degree.; piperonyl, Me, 2, [bis(methanesulfonate) m. 236-40.degree.]; diphenylmethyl, HOCH2CH2, 2, 174.degree.; phenylisopropyl, H, 2, (di-HCl salt m. 261-7.degree.); 2-phenyleth yl, H, 2, (di-HCl salt m. 258-63.degree.); o-methoxyphenoxyethyl, H, 2, [(bismethanesulfonate) m. 208-17.degree.]; piperonyl, HOCH2CH2, 2, (di-HC1 m. 235-40.degree.; piperonyl, allyl, 2, (di-HCl salt m. 204-12.degree.); diphenylmethyl, allyl, 2, m. 257-59.degree.; diphenylmethyl, piperonyl, (di-HCl salt m. 140-44.degree.]; piperonyl, piperonyl, 2, (di-HCl salt [2-(bismethane sulfonate) 237-42.degree.); piperonyl, 2,-3-dihydroxypropyl, 2, 215-22.degree. (decompn.); 2-pyrimidinyl, H, 6, >350.degree.; o-methoxyphenyl, H, 6, [bis(methane sulfonate) m. 200-203.degree.]; piperonyl, HOCH2CH2, 6, -

```
(di-HCl salt m.
      (di-HCl salt m. 270.degree.); piperonyl, piperonyl, 6,
      139-49.degree.); piperonyl, Me, 6, [bis(methanesulfonate) m.
      197-200.degree.]; diphenylmethyl, piperonyl, 6, 154.degree.;
      diphenylmethyl, HOCH2CH2, 6,
                                          (di-HCl salt m. 213-17.degree.); cinnamyl,
      piperonyl, 6, 136.degree.; diphenylmethyl, 2,3-dihydroxypropyl, 6, 230-34.degree.; m-(trifluoromethyl)phenyl, H, 6, 280-87.degree.;
      2-pyridinyl, H, 6, 300-305.degree.. IV prepd. were (R, R1, position of
      substitution, and m.p. given): piperonyl, H, 2, 157-8.degree.;
      o-methoxyphenyl, H, 2, 176.degree.; 3,3-diphenylpropyl, H, 2,
130.degree.;
      2-pyrimidinyl, H, 2, 180-81.degree.; 2-pyrimidinyl, Me, 2, 231.degree.; diphenylmethyl, Me, 2, 197.degree.; diphenylmethyl, H, 2, 183.degree.;
      piperonyl, H, 4, 162.degree.; cinnamyl, H, 4, 155.degree.;
      phenylisopropyl, H, 4, 168.degree.; o-methoxyphenoxyethyl, H, 4,
      110-12.degree.; phenylethyl, H, 4, 180.degree.; 3,3-diphenylpropyl, H, 4, 158.degree.; piperonyl, Me, 2, 150.degree.; diphenylmethyl, HOCH2CH2, 2, 163.degree.; cinnamyl, H, 2, 160.degree.; phenylisopropyl, H, 2, 190.degree.; phenylethyl, H, 2, 180.degree.; o-methoxyphenoxyethyl, H, 2,
      120.degree.; piperonyl, HOCH2CH2, 2, 109.degree.; diphenylmethyl, allyl,
      2, 134.degree.; diphenylmethyl, piperonyl, 2, 173.degree.; piperonyl,
      piperonyl, 2, 110.degree. (decompn.); piperonyl, 2,3-dihydroxypropyl, 2,
      (di-HCl salt m. 210-19.degree.). V prepd. were (R, R1, position of
      substitution, and m.p. given): diphenylmethyl, H, 2, 222.degree.;
     piperonyl, H, 2, 184.degree.; o-methoxyphenyl, H, 2, (tri-HCl salt m.
      163-5.degree.); 3,3-diphenylpropyl, H, 2,
                                                         [di-HCl salt m. 175-8.degree.
      (decompn.)]; 2-pyrimidinyl, H, 2, 175.degree.; 2-pyrimidinyl, Me , 2,
      231.degree.; diphenylmethyl, Me, 2, 256.degree.; piperonyl, H, 4, 162.degree.; cinnamyl, H, 4, 149.degree.; phenylisopropyl, H, 4,
      166.degree.; o-methoxyphenoxyethyl, H, 4, 180.degree.; phenylethyl, H, 4,
      202.degree.; 3,3-diphenylpropyl, H, 4, 170.degree.; piperonyl, Me, 2,
      140.degree.; diphenylmethyl, HOCH2CH2, 2, 176.degree.; cinnamyl, H, 2,
     (di-HCl salt m. 254-60.degree.); phenylisopropyl, H, 2, 112.degree.; phenylethyl, H, 2, 124-7.degree. [tri-HCl salt m. 190.degree.
(decompn.)];
      o-methoxyphenoxyethyl, H, 2, 106-110.degree. (tri-HCl salt m.
      218-223.degree.); piperonyl, HOCH2CH2, 2, 94.degree. [tri-HCl salt m.
      200.degree. (decompn.)]; piperonyl, allyl, 2, (an oil); diphenylmethyl,
     allyl, 2, 169.degree.; diphenylmethyl, piperonyl, 2, (an oil); piperonyl, piperonyl, 2, (an oil); piperonyl, 2,3-dihydroxypropyl, 2,
      itri-HCI sait m. 200.degree. (decompn.) |. Other compds. prepd. were
      6-chloro-9-(hydroxyethyl)purine m. 160.degree.,
6-chloro-9-piperonylpurine
     m. 164.degree., and 6-chloro-9-methylpurine m. 140.degree.; and these
were
      used in the prepn. of I. Toxicol. and pharmacol. studies have shown that
      they have a low toxicity and therapeutic properties as antihypertensive,
      analgesic, and central nervous system depressants. The LD50 studied by
      i.p. administration in mice varies from 88-600 mg/kg and from 360 to over
      2000 mg/kg for peroral administration.
ΙT
      24926-38-3P 24926-39-4P 24926-40-7P
      24926-63-4P 24926-64-5P 24926-65-6P
      RL: SPN (Synthetic preparation); PREP (Preparation)
         (prepn. of)
RN
      24926-38-3 CAPLUS
      24926-39-4 CAPLUS
RN
      Pyrimidine, 4,5-diamino-2-[4-(3,3-diphenylpropyl)-1-piperazinyl]-,
CN
      dihydrochloride (8CI) (CA INDEX NAME)
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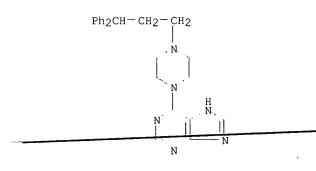
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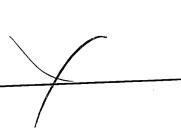
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CN Pyrimidine, 4-amino-2-[4-(3,3-diphenylpropyl)-1-piperazinyl]-5-nitro(8CI) (CA INDEX NAME)



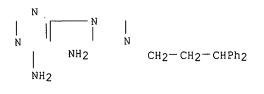


RN 24926-63-4 CAPLUS
CN 1H-Purine, 6-[4-(3,3-diphenylpropyl)-1-piperazinyl]-(9CI) (CA INDEX NAME)





RN 24926-64-5 CAPLUS
CN Pyrimidine, 4,5-diamino-6-[4-(3,3-diphenylpropyl)-1-piperazinyl]- (8CI)
(CA INDEX NAME)





RN 24926-65-6 CAPLUS

CN Pyrimidine, 4-amino-6-[4-(3,3-diphenylpropyl)-1-piperazinyl]-5-nitro(8CI) (CA INDEX NAME)

BERNHARDT

09/127059

Page 15

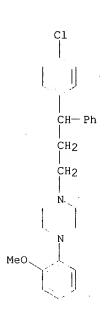
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L13 ANSWER 30 OF 32 CAPLUS COPYRIGHT 1999 ACS
     1969:501817 CAPLUS
DN
     71:101817
TI
     Synthesis and central nervous system depressant activity of new
     derivatives and related compounds. II
ΑU
     Vadodaria, D. J.; Deliwala, Chimanlal V.; Mandrekar, S. S.; Sheth, U. K.
     Haffkine Inst., Bombay, India
J. Med. Chem. (1969), 12, 860-5
SO
     CODEN: JMCMAR
DT
     Journal
LΑ
     English
AΒ
     Ninety-three N1, N4-disubstituted piperazine derivatives in which the
     N1-substituents are 3-(p-chlorophenyl)-3-phenylpropionyl,
     3-(p-chlorophenyl)-3-phenylpropyl, .omega.-(p-chloro-.alpha.-
     phenylbenzyloxy)alkyl, .beta.-(p-chloro-.alpha.-phenylbenzylthio)ethyl,
     .beta.- (p - chloro-.alpha.-phenylbenzylamino)ethyl, or .beta. -
     (1,2-diphenylethylamino)ethyl and the N4-substituents are Me,
     2-hydroxypropyl, 2-(2-hydroxyethoxy)ethyl, cyclohexyl, benzyl, m-methyl-
     and p-tert-butylbenzyl, p-chloro-.alpha.-phenylbenzyl, phenethyl, Ph,
     chloro-, and methoxyphenyl, tolyl, 2-pyridyl, 2-pyrimidinyl, or 2-thiazolyl have been synthesized. So have some N,N'-disubstituted
     ethylenediamines in which the substituent is
p-chloro-.alpha.-phenylbenzyl
     and N'-substituents are alkyl groups or N' is a part of morpholine or
     piperidine. Screening for central nervous system (CNS) activity revealed
     that some compds. possessed significant CNS depressant activity. A few
     compds. exhibited promising antihistaminic activity in exptl. animals. 23902-92-3P 23904-73-6P 23940-99-0P
ΙT
     23941-00-6P 23941-10-8P 23941-11-9P
     23941-12-0P 24042-30-6P
     RL: SPN (Synthetic preparation); PREP (Preparation)
     (prepn. of)
23902-92-3 CAPLUS
RN
CN
     Piperazine, 1-[3-(p-chlorophenyl)-3-phenylpropyl]-4-(o-methoxyphenyl)-,
     maleate (1:1) (8CI) (CA INDEX NAME)
     CM
```

CRN 33656-14-3 CMF C26 H29 C1 N2 O



et clided.

CM

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

HO2C CO2H

23904-73-6 CAPLUS RN

<u>Biperazine</u>, 1 [3 (p-chloropheny1)-3-phenylpropy1]-4-p-toly1-, maleate (1:1) (8CI) (CA INDEX NAME)

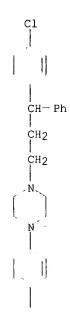
CM 1

CRN 33656-17-6 CMF C26 H29 C1 N2 BERNHARDT

09/127059

Page 18

PAGE 1-A



PAGE 2-A

Me

2 CM

CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

HO₂C Z CO2H

RN CN

23940-99-0 CAPLUS
Piperazine, 1-[3-(p-chlorophenyl)-3-phenylpropyl]-4-(pyrazinyl)-, maleate (1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 47581-22-6 CMF C23 H25 C1 N4

2 CM

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

23941-00-6 CAPLUS
Piperazine, 1-[3-(p-chlorophenyl)-3-phenylpropyl]-4-(2-thiazolyl)-,
maleate (1:1) (8CI) (CA INDEX NAME) CN

CM 1

CRN 33656-19-8 CMF C22 H24 C1 N3 S

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 23941-10-8 CAPLUS
CN Piperazine, 1-(o-chlorophenyl)-4-[3-(p-chlorophenyl)-3-phenylpropyl]-,
maleate (1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 33656-13-2 CMF C25 H26 C12 N2

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 23941-11-9 CAPLUS
CN Piperazine, 1-[3-(p-chlorophenyl)-3-phenylpropyl]-4-o-tolyl-, maleate
(1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 33656-15-4 CMF C26 H29 C1 N2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

```
CM 1
```

CRN 33656-16-5 CMF C26 H29 C1 N2

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 24042-30-6 CAPLUS
CN Piperazine, 1-[3-(p-chlorophenyl)-3-phenylpropyl]-4-(2-pyridyl)-, maleate
(1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 33656-18-7 CMF C24 H26 C1 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

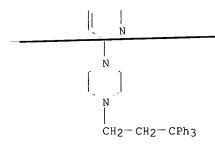
Double bond geometry as shown.

HO₂C Z CO₂H

09/127059

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L13 ANSWER 31 OF 32 CAPLUS COPYRIGHT 1999 ACS
     1969:87854 CAPLUS
AN
DN
     Di- and triphenylpropyl piperazine derivatives
TΙ
     Regnier, Gilbert; Canevari, Roger; Le Douarec, Jean C.
IN
     Science Union et Cie.-Societe Francaise de Recherche Medicale
     S. African, 17 pp.
SO
     CODEN: SFXXAB
\mathsf{DT}
     Patent -
     English
LA
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                             APPLICATION NO. DATE
                       ----
                             19680812
     ZA 6801455
PRAI GB
                       19670314
     The title compds., useful as analgesics, antiinflammatory agents and as
     antitussives, are prepd. by alkylating the appropriate piperazine with a
     halo-substituted heterocyclic compd. Thus, a mixt. of 40 g. K2CO3, 15.8 g. 2-bromopyridine and 35.6 g. 1-(3,3, 3-triphenylpropyl)piperazine (m.
     131.degree.) in 100 cc. HCONMe2 was heated 7 hrs. at 150.degree. and
     worked up to give 25 g.
1-(3,3,3-triphenylpropyl)-4-(2-pyridyl)piperazine,
     m. 148.degree.. Other compds. were cited but no details of prepn. or
     phys. properties were given. Some pharmacol. data are given.
ΙT
     21801-31-0P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of)
     21801-31-0 CAPLUS
RN
     Piperazine, 1-(2-pyridinyl)-4-(3,3,3-triphenylpropyl)- (9CI) (CA INDEX
CN
```



=> D L13 32 BIB ABS HITSTR

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nexcluded.
L13 ANSWER 32 OF 32 CAPLUS COPYRIGHT 1999 ACS
     1969:11717 CAPLUS
DN
     70:11717
     1-(3,3-Diphenylpropyl)-4-(2-pyrimidinyl)piperazines
ΤI
     Regnier, Gilbert; Canevari, Roger; Le Douarec, Jean C.; Laure, Michel
ΙN
PΑ
     Science Union et Cie.-Societe Francaise de Recherche Medicale
      Fr., 5 pp.
     CODEN: FRXXAK
     Patent
DT
LA
     French
FAN.CNT 1
     PATENT NO.
                        KIND DATE
                                                 APPLICATION NO. DATE
      ----- ----
PΙ
     FR 1505109
                                 19671208
PRAI GB
                         19651216
    For diagram(s), see printed CA Issue.
GΙ
     Piperazines (I) are prepd. from II (\dot{R} = 3-phenylpropyl) and
AΒ
     2-chloropyrimidines and from II (R = 2-pyrimidyl) and Ph2C(Ar)CH2CH2X
     compds. A mixt. of 15. g. II (R = Ph2CHCH2CH2) (III) 16.4 g. 2-chloropyrimidine, 250 ml. HCONMe2, and 27.6 g. K2CO3 is heated 7 hrs.
     150.degree. to give 25 g.
1-(3,3-diphenylpropyl)-4-(2-pyrimidyl)piperazine
      (IV), m. 111.degree.. Similarly prepd. are the following I (Ar, R, R1,
      R2, m.p., salt, and salt m.p. given): H, H, C1, C1, -, MeSO3H,
     251.degree.; H, Me, H, H, 80.degree., -, -; H, Me, Me, H, -, fumarate, 195-205.degree. (decompn.); H, Me, H, Me, 103-5.degree., -, -; H, MeO, H,
     H, 88.degree., -, -; H, NH2, H, H, -, 2HCl, 164-5.degree.; H, NHMe, H, H, -, 2HCl, 175-8.degree.; H, NMe2, H, H, -, 2HCl, 178-81.degree.; H, H, (R1R2 = ) benzo, -, 2HCl, 235-40.degree.; Ph, H, H, H, 130.degree., -, -;
     Ph, H, Cl, H, 124.degree., -, -; Ph, OH, H, H, -, 2HCl.H2O,
176-80.degree.
     (decompn.); Ph, Me, H, H, 128.degree., -, -; Ph, Me, Me, H, -, fumarate, 190-200.degree. (decompn.); Ph, Me, H, Me, 140.degree., -, -; Ph, MeO, H,
     H, 125.degree., -, -; Ph, NH2, H, H, - (2H2O) 132-40.degree. -, -; Ph, NHMe, H, H, 150-3.degree., -, -; Ph, NMe2, H, H, 115.degree., -, -; Ph,
     NHCH2CH:CH2, H, H, 154-8.degree. -, -; Ph, H, (R1R2 = ) benzo, -, fumarate, 195-200.degree. (decompn.). The following compds. are also
     prepd. (m.p., salt, and salt m.p. given): 1-(3,3-diphenylpropyl)-4-(4-
     pyrimidyl)piperazine, -, fumarate monohydrate, 233-7.degree.;
      1-(3,3-diphenylpropyl)-4-(4-benzopyrimidyl)piperazine, -, 2HCl,
     230-5.degree.; 1-(3,3-diphenylpropyl)-4-(2-methyl-4-
     benzopyrimidyl)piperazine, - fumarate hemihydrate, 167-70.degree.;
      1-(3,3,3-triphenylpropyl)-4-(4-pyrimidyl)piperazine, - (2H2O
      64-6.degree.), -, -; 1-(3,3,3-triphenylpropyl)-4-(2-amino-4-
     pyrimidyl)piperazine, 188-90.degree., -; 1-(3,3,3-triphenylpropyl)-4-(4-
      benzopyrimidyl)piperazine, -, 2HCl, 155-60.degree.; 1-(3,3,3,-
      triphenylpropyl)-4-(2-methyl-4-benzopyrimidyl)piperazine, -, fumarate,
      205-10.degree. (decompn.). 1-(2-Pyrimidyl)piperazine is treated with
      Ph2CHCH2CH2Br and p-MeC6H4SO3CH2CH2CPh3 to give IV and I (Ar = Ph, R = R1)
      = R2 = H), m. 130.degree., resp. III (2HCl salt m. 215-18.degree.) and
ΙI
      (R = Ph3CCH2CH2) (2 MeSO3H salt m. 184-7.degree.) are prepd. from
      piperazine.
IT
      20974-39-4P 20974-40-7P 20974-41-8P
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20974-42-9P 20980-02-3P 20980-03-4P
    20980-04-5P 20980-05-6P 20980-06-7P
    20980-08-9P 20980-09-0P 20980-11-4P
    20980-12-5P 20980-13-6P 20980-14-7P
    20980-15-8P 20980-16-9P 20980-17-0P
    20980-18-1P 20980-19-2P 20980-20-5P
    21026-23-3P 21026-24-4P 21026-25-5P
    21026-26-6P 21026-27-7P 21162-92-5P
    21178-20-1P 22307-06-8P
    RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of)
    20974-39-4 CAPLUS
RN
    Pyrimidine, 5-chloro-2-[4-(3,3-diphenylpropyl)-1-piperazinyl]-,
CN
    monomethanesulfonate (8CI) (CA INDEX NAME)
    CM
    CRN 47581-42-0
    CMF C23 H25 C1 N4
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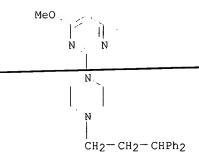
CM 2

CRN 75-73-2 CMF C H4 O3 S

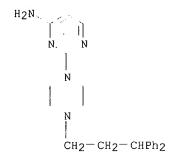
RN 20974-40-7 CAPLUS
CN Pyrimidine, 2-[4-(3,3-diphenylpropyl)-1-piperazinyl]-4-methyl- (8CI) (CA INDEX NAME)

RN 20974-41-8 CAPLUS
CN Pyrimidine, 2-[4-(3,3-diphenylpropyl)-1-piperazinyl]-4,6-dimethyl- (8CI)
(CA INDEX NAME)

RN 20974-42-9 CAPLUS
CN Pyrimidine, 2-[4-(3,3-diphenylpropyl)-1-piperazinyl]-4-methoxy- (8CI)
(CA INDEX NAME)



RN 20980-02-3 CAPLUS
CN Pyrimidine, 4-amino-2-[4-(3,3-diphenylpropyl)-1-piperazinyl]-,
dihydrochloride (8CI) (CA INDEX NAME)

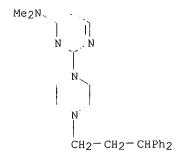


● 2 HCl

RN

20980-03-4 CAPLUS

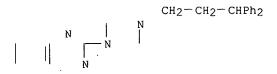
Pyrimidine, 4-(dimethylamino)-2-[4-(3,3-diphenylpropyl)-1-piperazinyl]-, CN dihydrochloride (8CI) (CA INDEX NAME)



2 HCl

20980-04-5 CAPLUS RN

Quinazoline, 2-[4-(3,3-diphenylpropyl)-1-piperazinyl]-, dihydrochloride (8CI) (CA INDEX NAME)



20980-05-6 CAPLUS RN

Quinazoline, 4-[4-(3,3-diphenylpropyl)-1-piperazinyl]-, dihydrochloride CN (8CI) (CA INDEX NAME)

● 2 HCl

20980-06-7 CAPLUS RN Pyrimidine, 2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]- (8CI, 9CI) (CA CN INDEX NAME)

RN

20980-08-9 CAPLUS

Pyrimidine, 2-[4-(3,3-diphenylpropyl)-1-piperazinyl]-4-(methylamino)-, CN dihydrochloride (8CI) (CA INDEX NAME)

=> d an hitstr 4

L14 ANSWER 4 OF 4 COPYRIGHT 1999 ACS

CA57:13780e CAOLD AN

96869-60-2 98588-49-9 96869-60-2 CAOLD ΙT

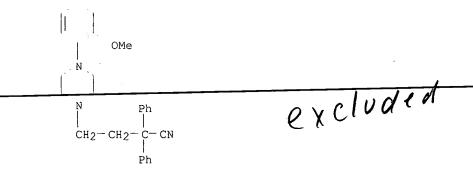
RN

1-Piperazinebutyramide, 4-(o-methoxyphenyl)-.alpha.,.alpha.-diphenyl-(7CI) (CA INDEX NAME)

excluded

RN 98588-49-9 CAOLD

 ${\tt 1-Piperazine butyronitrile,\ 4-(o-methoxyphenyl)-.alpha.,.alpha.-diphenyl-,}$ CN dihydrochloride (7CI) (CA INDEX NAME)



● 2 HCl

● 2 HCl

RN 20980-09-0 CAPLUS CN Pyrimidine, 4-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]- (8CI, 9CI) (CA INDEX NAME)

RN 20980-11-4 CAPLUS
CN Pyrimidine, 4-methyl-2-[4-(3,3,3 triphenylpropyl)-1-piperazinyl]- (8CI, 9CI) (CA INDEX NAME)

RN 20980-12-5 CAPLUS CN Pyrimidine, 4,6-dimethyl-2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]- BERNHARDT

09/127059

Page 7

(8CI, 9CI) (CA INDEX NAME)

RN 20980-13-6 CAPLUS

CN Pyrimidine, 4-methoxy-2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]- (8CI, 9CI) (CA INDEX NAME)

RN 20980-14-7 CAPLUS

CN 4-Pyrimidinamine, 2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 20980-15-8 CAPLUS

CN 2-Pyrimidinamine, 4-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

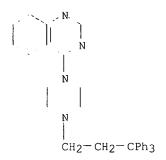
RN 20980-16-9 CAPLUS
CN 4-Pyrimidinamine, N-methyl-2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl](9CI) (CA INDEX NAME)

MeNH

RN 20980-17-0 CAPLUS
CN 4-Pyrimidinamine,
N,N-dimethyl-2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl](9CI) (CA INDEX NAME)

$$H_2C = CH - CH_2 - NH$$
 N
 N
 N
 N
 $CH_2 - CH_2 - CPh_3$

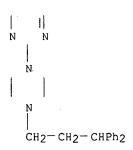
RN 20980-19-2 CAPLUS
CN Quinazoline, 4-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]-,
dihydrochloride
(8CI, 9CI) (CA INDEX NAME)



● 2 HCl

RN 20980-20-5 CAPLUS

CN Pyrimidine, 2-[4-(3,3-diphenylpropyl)-1-piperazinyl]- (8CI, 9CI) (CA INDEX NAME)



RN 21026-23-3 CAPLUS CN Pyrimidine, 4-[4-(3,3-diphenylpropyl)-1-piperazinyl]-, fumarate (1:1)

(8CI) (CA INDEX NAME)

CM 1

CRN 47544-49-0 CMF C23 H26 N4

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 21026-24-4 CAPLUS

CN Quinazoline, 4-[4-(3,3-diphenylpropyl)-1-piperazinyl]-2-methyl-, fumarate (1:1) (8CI) (CA INDEX NAME)

CM___

CRN 47704-65-4 CMF C28 H30 N4

```
CM 2
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CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

E CO2H

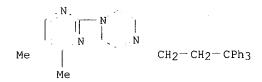
HO20

RN 21026-25-5 CAPLUS

CN Pyrimidine, 4,5-dimethyl-2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl}-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 47758-61-2 CMF C31 H34 N4



CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

 $^{\text{E}}$ CO₂H

HO₂C

RN 21026-26-6 CAPLUS

CN Quinazoline, 2-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 47787-36-0 CMF C33 H32 N4

$$\begin{array}{c|c} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{CPh}_3\\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ \end{array}$$

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

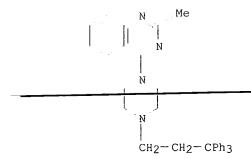
E CO2H

HO₂C

RN 21026-27-7 CAPLUS
CN Quinazoline, 2-methyl-4-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]-,
(2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 47796-32-7 CMF C34 H34 N4



CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

E CO2H

HO₂C

● 2 HCl

RN 21178-20-1 CAPLUS
CN Pyrimidine, 2-[4-(3,3-diphenylpropyl)-1-piperazinyl]-4,5-dimethyl-, fumarate (1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 47625-97-8 CMF C25 H30 N4

$$\begin{array}{c|c} N & N & N \\ \hline N & N & CH_2-CH_2-CHPh_2 \end{array}$$

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

E CO2H

HO₂C

RN 22307-06-8 CAPLUS
CN Pyrimidine, 5-chloro-4-[4-(3,3,3-triphenylpropyl)-1-piperazinyl]- (8CI)
(CA INDEX NAME)

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ANSWER 1 OF 4 COPYRIGHT 1999 ACS L14

CA63:18021b CAOLD AN

IT 4082-41-1 4082-42-2 4082-43-3

4082-41-1 CAOLD RN

1-Piperazinepropanol, .beta.-methyl-.alpha.,.alpha.,4-triphenyl- (7CI, 8CI) (CA INDEX NAME)



RN 4082-42-2 CAOLD

1-Piperazinepropanol, 4-(p-methoxyphenyl)-.beta.-methyl-.alpha.,.alpha.diphenyl- (7CI, 8CI) (CA INDEX NAME)

4082-43-3 CAOLD RN

1-Piperazinepropanol, 4-(p-chlorophenyl)-.beta.-methyl-.alpha.,.alpha.-diphenyl- (7CI, 8CI) (CA INDEX NAME) CN

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L14 ANSWER 2 OF 4 COPYRIGHT 1999 ACS

CA57:13780g CAOLD ΑN

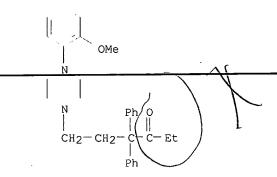
96269-21-5 96310-84-8 96931-47-4 96269-21-5 CAOLD ΙT

RN

CN 1-Piperazinebutanol, .alpha.-ethyl-4-(o-methoxyphenyl)-.beta.,.beta.diphenyl- (7CI) (CA INDEX NAME)

96310-84-8 CAOLD 3-Hexanone, 6-[4-(o-methoxyphenyl)-1-piperazinyl]-4,4-diphenyl- (7CI) RN CN (CA

INDEX NAME)



96931-47-4 CAOLD RN

1-Piperazinebutanol, 4-(o-methoxyphenyl)-.alpha.-methyl-.beta.,.beta.-CN diphenyl- (7CI) (CA INDEX NAME)

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L14 ANSWER 3 OF 4 COPYRIGHT 1999 ACS AN CA57:13780f CAOLD

IT98878-82-1

RN 98878-82-1 CAOLD

2-Pentanone, 5-[4-(o-methoxyphenyl)-1-piperazinyl)-3,3-diphenyl- (7CI) (CA INDEX NAME) CN

